

02/11/2006 10666192.trn

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:SSSPTA1626GMS

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

\* \* \* \* \* \* \* \* \* Welcome to STN International \* \* \* \* \* \* \* \* \*

NEWS 1 Web Page URLs for STN Seminar Schedule - N. America  
NEWS 2 "Ask CAS" for self-help around the clock  
NEWS 3 DEC 05 CASREACT(R) - Over 10 million reactions available  
NEWS 4 DEC 14 2006 MeSH terms loaded in MEDLINE/LMEDLINE  
NEWS 5 DEC 14 2006 MeSH terms loaded for MEDLINE file segment of TOXCENTER  
NEWS 6 DEC 14 CA/CAplus to be enhanced with updated IPC codes  
NEWS 7 DEC 21 IPC search and display fields enhanced in CA/CAplus with the  
IPC reform  
NEWS 8 DEC 23 New IPC8 SEARCH, DISPLAY, and SELECT fields in USPATFULL/  
USPAT2  
NEWS 9 JAN 13 IPC 8 searching in IFIPAT, IFIUDB, and IFICDB  
NEWS 10 JAN 13 New IPC 8 SEARCH, DISPLAY, and SELECT enhancements added to  
INPADOC  
NEWS 11 JAN 17 Pre-1988 INPI data added to MARPAT  
NEWS 12 JAN 17 IPC 8 in the WPI family of databases including WPIFV  
NEWS 13 JAN 30 Saved answer limit increased  
NEWS 14 JAN 31 Monthly current-awareness alert (SDI) frequency  
added to TULSA

NEWS EXPRESS JANUARY 03 CURRENT VERSION FOR WINDOWS IS V8.01,  
CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),  
AND CURRENT DISCOVER FILE IS DATED 19 DECEMBER 2005.  
V8.0 USERS CAN OBTAIN THE UPGRADE TO V8.01 AT  
<http://download.cas.org/express/v8.0-Discover/>

NEWS HOURS STN Operating Hours Plus Help Desk Availability  
NEWS INTER General Internet Information  
NEWS LOGIN Welcome Banner and News Items  
NEWS PHONE Direct Dial and Telecommunication Network Access to STN  
NEWS WWW CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that  
specific topic.

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research. Use for software development or design or implementation  
of commercial gateways or other similar uses is prohibited and may  
result in loss of user privileges and other penalties.

\* \* \* \* \* \* \* \* \* STN Columbus \* \* \* \* \* \* \* \* \* \* \* \* \*

FILE 'HOME' ENTERED AT 14:44:47 ON 11 FEB 2006

=>  
Uploading

THIS COMMAND NOT AVAILABLE IN THE CURRENT FILE  
Do you want to switch to the Registry File?

Choice (Y/n) :

Switching to the Registry File...

Some commands only work in certain files. For example, the EXPAND command can only be used to look at the index in a file which has an index. Enter "HELP COMMANDS" at an arrow prompt (=>) for a list of commands which can be used in this file.

=> FILE REGISTRY

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 14:44:57 ON 11 FEB 2006  
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.  
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.  
COPYRIGHT (C) 2006 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 9 FEB 2006 HIGHEST RN 873916-87-1  
DICTIONARY FILE UPDATES: 9 FEB 2006 HIGHEST RN 873916-87-1

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

Please note that search-term pricing does apply when conducting SmartSELECT searches.

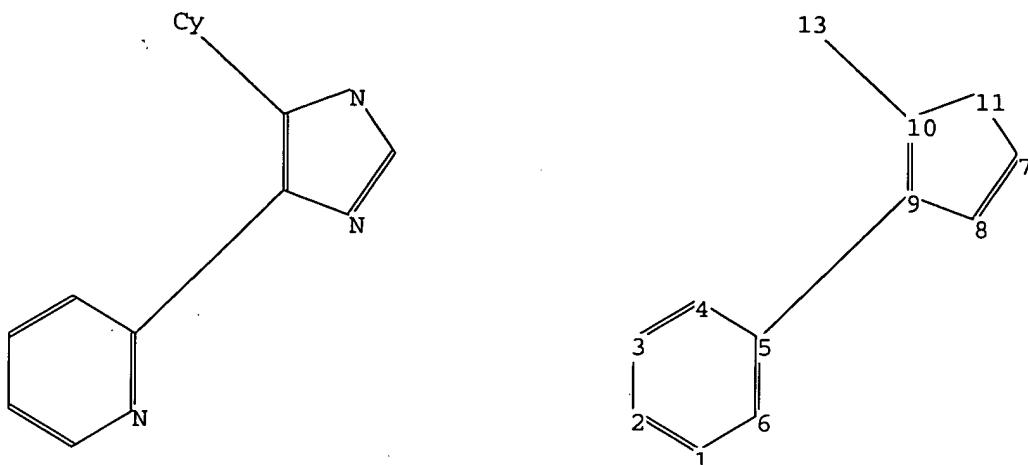
\*\*\*\*\*  
\*  
\* The CA roles and document type information have been removed from \*  
\* the IDE default display format and the ED field has been added, \*  
\* effective March 20, 2005. A new display format, IDERL, is now \*  
\* available and contains the CA role and document type information. \*  
\*  
\*\*\*\*\*

Structure search iteration limits have been increased. See HELP SLIMITS for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

=>  
Uploading C:\Program Files\Stnexp\Queries\10666192.str



chain nodes :

13

ring nodes :

1 2 3 4 5 6 7 8 9 10 11

chain bonds :

5-9 10-13

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-11 8-9 9-10 10-11

exact/norm bonds :

7-8 7-11 8-9 10-11 10-13

exact bonds :

5-9 9-10

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6

isolated ring systems :

containing 1 : 7 :

Match level :

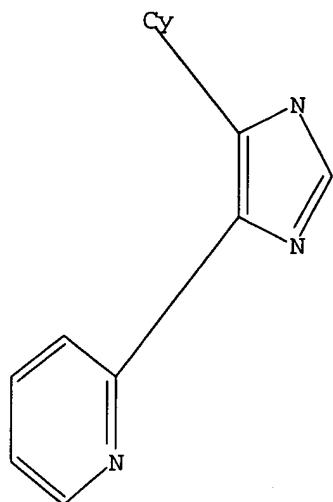
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom  
11:Atom 13:Atom

L1 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s 11  
SAMPLE SEARCH INITIATED 14:45:10 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 484 TO ITERATE

100.0% PROCESSED 484 ITERATIONS 39 ANSWERS  
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 8361 TO 10999  
PROJECTED ANSWERS: 406 TO 1154

L2 39 SEA SSS SAM L1

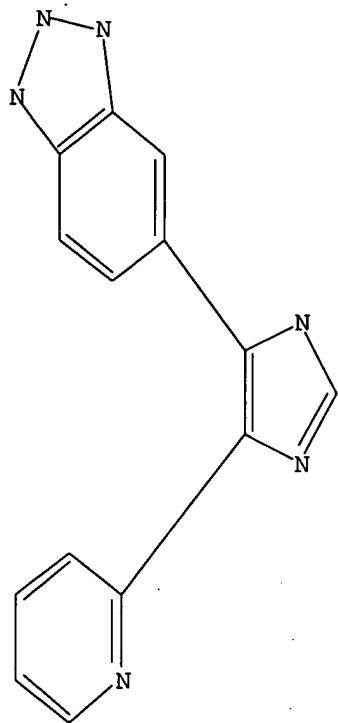
=> s 11 sss full  
FULL SEARCH INITIATED 14:45:20 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 9665 TO ITERATE

100.0% PROCESSED 9665 ITERATIONS  
SEARCH TIME: 00.00.01

L3 680 SEA SSS FUL L1

=>  
Uploading C:\Program Files\Stnexp\Queries\10666192a.str

680 ANSWERS



Structure attributes must be viewed using STN Express query preparation.

=> s 14

SAMPLE SEARCH INITIATED 14:50:45 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 12 TO ITERATE

100.0% PROCESSED 12 ITERATIONS  
SEARCH TIME: 00.00.01

0 ANSWERS

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 33 TO 447  
PROJECTED ANSWERS: 0 TO 0

L5 0 SEA SSS SAM L4

=> s 14 sss full

FULL SEARCH INITIATED 14:50:54 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 313 TO ITERATE

100.0% PROCESSED 313 ITERATIONS  
SEARCH TIME: 00.00.01

3 ANSWERS

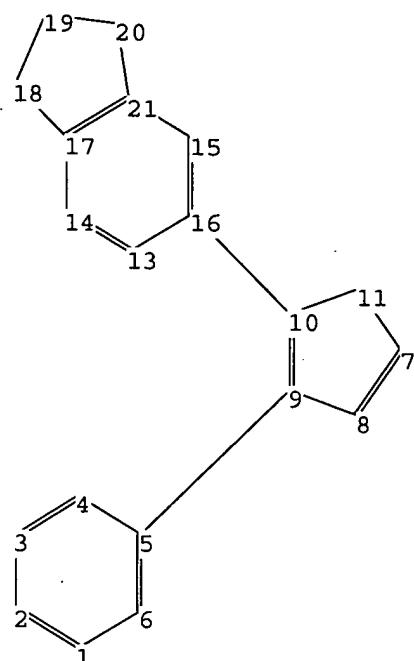
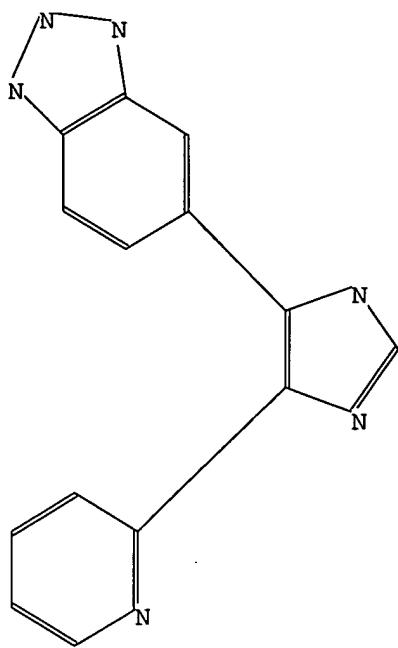
L6 3 SEA SSS FUL L4

=> FIL HCAPLUS

COST IN U.S. DOLLARS

FULL ESTIMATED COST

SINCE FILE ENTRY	TOTAL SESSION
337.40	337.61



ring nodes :

1 2 3 4 5 6 7 8 9 10 11 13 14 15 16 17 18 19 20 21

chain bonds :

5-9 10-16

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-11 8-9 9-10 10-11 13-14 13-16 14-17  
15-21 15-16 17-18 17-21 18-19 19-20 20-21

exact/norm bonds :

7-8 7-11 8-9 10-11 17-18 18-19 19-20 20-21

exact bonds :

5-9 9-10 10-16

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6 13-14 13-16 14-17 15-21 15-16 17-21

isolated ring systems :

containing 1 : 7 : 13 :

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom  
11:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom  
21:Atom

L4 STRUCTURE UPLOADED

=&gt; d 14

L4 HAS NO ANSWERS

L4 STR

FILE 'HCAPLUS' ENTERED AT 14:50:59 ON 11 FEB 2006  
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.  
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FILE COVERS 1907 - 11 Feb 2006 VOL 144 ISS 8  
FILE LAST UPDATED: 10 Feb 2006 (20060210/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 16  
L7 1 L6

=> s 13  
L8 75 L3

=> s 18 and p/dt  
5119526 P/DT  
L9 37 L8 AND P/DT

=> s 19 and py<=2002  
22790869 PY<=2002  
L10 23 L9 AND PY<=2002

=> s 110 and frowth factor  
4 FROWTH  
945111 FACTOR  
846009 FACTORS  
1491334 FACTOR  
(FACTOR OR FACTORS)  
0 FROWTH FACTOR  
(FROWTH (W) FACTOR)

L11 0 L10 AND FROWTH FACTOR

=> s 110 and inhibitor  
497561 INHIBITOR  
508610 INHIBITORS  
789651 INHIBITOR  
(INHIBITOR OR INHIBITORS)

L12 17 L10 AND INHIBITOR

=> s 112 and tgf  
29981 TGF  
151 TGFS

02/11/2006 10666192.trn

30006 TGF  
(TGF OR TGFS)  
L13 4 L12 AND TGF

=> s l12 and cancer  
269505 CANCER  
38980 CANCERS  
279737 CANCER  
(CANCER OR CANCERS)  
L14 0 L12 AND CANCER

=> d his

(FILE 'HOME' ENTERED AT 14:44:47 ON 11 FEB 2006)

FILE 'REGISTRY' ENTERED AT 14:44:57 ON 11 FEB 2006

L1 STRUCTURE uploaded  
L2 39 S L1  
L3 680 S L1 SSS FULL  
L4 STRUCTURE uploaded  
L5 0 S L4  
L6 3 S L4 SSS FULL

✓ FILE 'HCAPLUS' ENTERED AT 14:50:59 ON 11 FEB 2006

L7 1 S L6  
L8 75 S L3  
L9 37 S L8 AND P/DT  
L10 23 S L9 AND PY<=2002  
L11 0 S L10 AND FROWTH FACTOR  
L12 17 S L10 AND INHIBITOR  
L13 4 S L12 AND TGF  
L14 0 S L12 AND CANCER

=> d 17 ibib abs hitstr tot

L7 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:267322 HCAPLUS

DOCUMENT NUMBER: 140:303668

TITLE: Preparation of 2-(imidazol-5-yl)pyridines as transforming growth factor (TGF) inhibitors for the treatment of cancer and fibrotic diseases

INVENTOR(S): Blumberg, Laura Cook; Munchhof, Michael John

PATENT ASSIGNEE(S): Pfizer Products Inc., USA

SOURCE: PCT Int'l Appl., 63 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

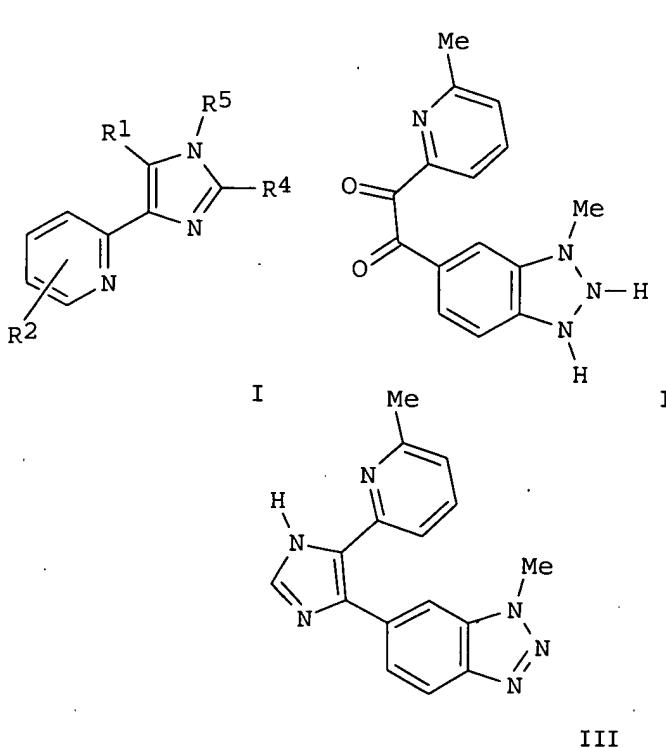
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004026859	A1	20040401	WO 2003-IB3833	20030908
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,  
 KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,  
 FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,  
 BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  
 CA 2498047 AA 20040401 CA 2003-2498047 20030908  
 EP 1542990 A1 20050622 EP 2003-797429 20030908  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK  
 BR 2003014372 A 20050719 BR 2003-14372 20030908  
 JP 2006502237 T2 20060119 JP 2004-568901 20030908  
 US 2004106608 A1 20040603 US 2003-666192 20030917  
 NO 2005001008 A 20050607 NO 2005-1008 20050224  
 PRIORITY APPLN. INFO.: US 2002-411894P P 20020918  
 GI US 2003-484522P P 20030702  
 OTHER SOURCE(S): MARPAT 140:303668  
 GI WO 2003-IB3833 W 20030908



AB Title compds. I [R1 = (un)saturated aromatic, monocyclic, bicyclic, etc.; R2 = (R3)s; R3 = H, halo, halo-alkyl, etc.; s = 1-5; R4 = H, halo, halo-alkyl, etc.; R5 = H, alkyl, alkenyl, etc.] and their pharmaceutically acceptable salts were prepared. For example, condensation of dione II, e.g., prepared from 3-methyl-3H-benzotriazole-5-carboxylic acid in 3-steps, ammonium acetate and formaldehyde afforded imidazolylpyridine III in 22% yield. In  $\beta 1$ -transforming growth factors kinase assay, imidazolylpyridine III exhibited an IC<sub>50</sub> value of 44.5 nM. Of note, compds. I also possess differential activity, i.e. are selective for  $\beta 1$ -TGF over  $\beta 2$ -TGF and  $\beta 3$ -TGF. Compds. I are claimed useful for the treatment of

TGF-related disease states including cancer and fibrotic diseases.

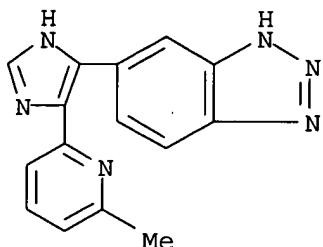
IT 676372-03-5P 676372-06-8P 676372-09-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 2-(imidazolyl)pyridines as transforming growth factor (TGF) inhibitors for the treatment of cancer and fibrotic diseases.)

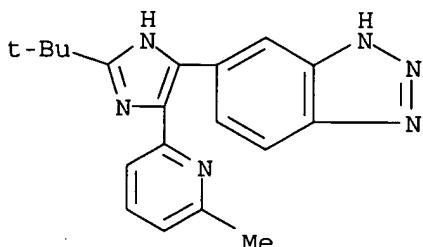
RN 676372-03-5 HCPLUS

CN 1H-Benzotriazole, 5-[5-(6-methyl-2-pyridinyl)-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)



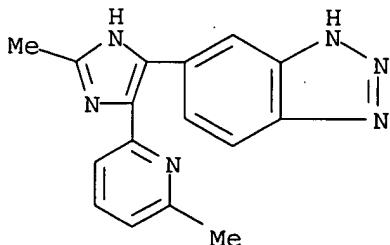
RN 676372-06-8 HCPLUS

CN 1H-Benzotriazole, 5-[2-(1,1-dimethylethyl)-5-(6-methyl-2-pyridinyl)-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)



RN 676372-09-1 HCPLUS

CN 1H-Benzotriazole, 5-[2-methyl-5-(6-methyl-2-pyridinyl)-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

5

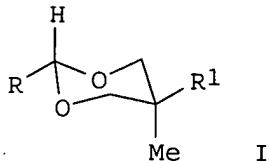
THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

02/11/2006 10666192.trn

=> d 112 ibib abs hitstr tot

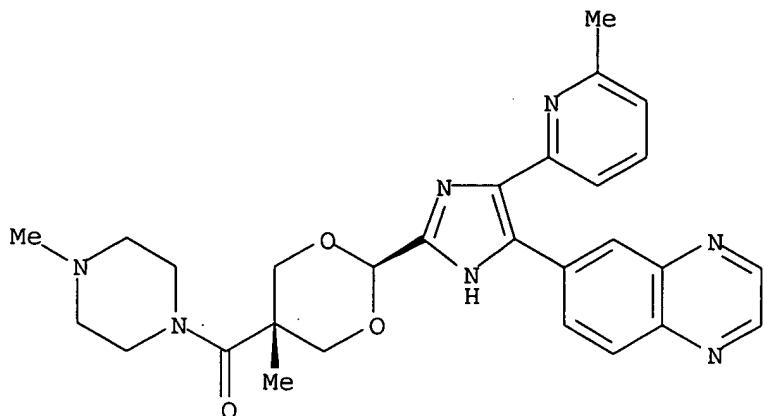
L12 ANSWER 1 OF 17 HCPLUS COPYRIGHT 2006 ACS on STN  
ACCESSION NUMBER: 2002:539528 HCPLUS  
DOCUMENT NUMBER: 137:93761  
TITLE: Preparation of 2-imidazolyl-1,3-dioxane-5-carboxamides  
and analogs as ALK-5 receptor **inhibitors**  
INVENTOR(S): Gaster, Laramie Mary  
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA  
SOURCE: PCT Int. Appl., 22 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002055077	A1	20020718	WO 2002-EP112	20020107 <<
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.: GI			GB 2001-762	A 20010111



- AB Title compds. [e.g., I; R = 5-(6-methyl-2-pyridinyl)-4-(6-quinazolinyl)-1H-imidazol-2-yl throughout; R1 = CONR2R3 or NHBz; R2 = H and R3 = 2-pyridinylmethyl or CH2Ph; R2R3 = (CH2CH2)2NMe or (CH2CH2)2O] were prepared. Thus, RCH(OMe)2 (preparation given) was cyclocondensed with MeC(CH2OH)2CO2H and the product amidated by N-methylpiperazine to give I (R1 = 4-methyl-1-piperazinylcarbonyl). Data for biol. activity of I were given.
- IT 442517-17-1P 442517-19-3P 442517-22-8P  
442517-24-0P 442517-27-3P  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of 2-imidazolyl-1,3-dioxane-5-carboxamides and analogs as ALK-5 receptor **inhibitors**)
- RN 442517-17-1 HCPLUS
- CN Piperazine, 1-methyl-4-[[trans-5-methyl-2-[4-(6-methyl-2-pyridinyl)-5-(6-quinoxalinyl)-1H-imidazol-2-yl]-1,3-dioxan-5-yl]carbonyl]- (9CI) (CA INDEX NAME)

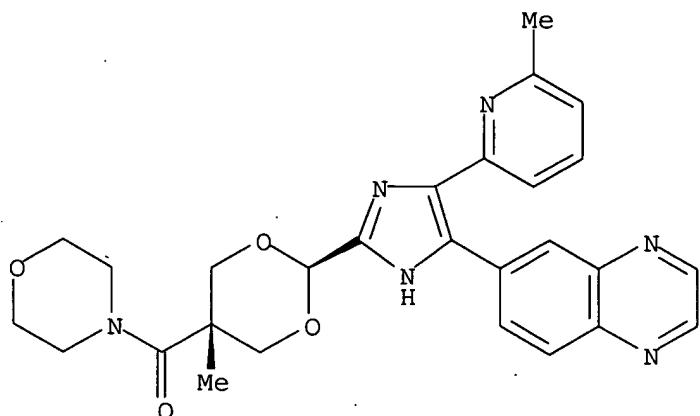
Relative stereochemistry.



RN 442517-19-3 HCAPLUS

CN Morpholine, 4-[[trans-5-methyl-2-[4-(6-methyl-2-pyridinyl)-5-(6-quinoxalinyl)-1H-imidazol-2-yl]-1,3-dioxan-5-yl]carbonyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



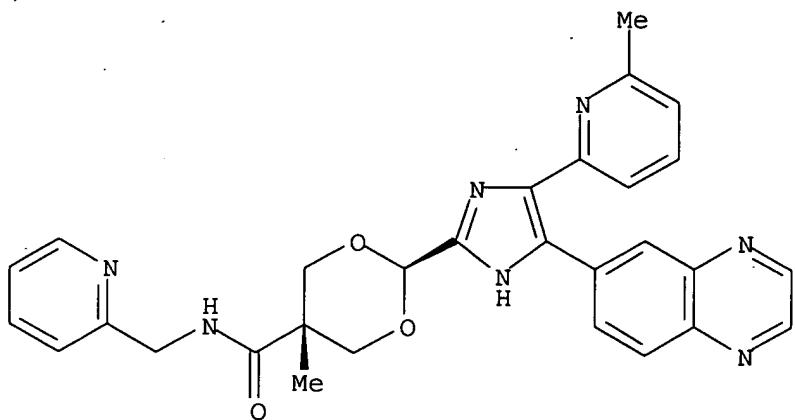
RN 442517-22-8 HCAPLUS

CN 1,3-Dioxane-5-carboxamide, 5-methyl-2-[4-(6-methyl-2-pyridinyl)-5-(6-quinoxalinyl)-1H-imidazol-2-yl]-N-(2-pyridinylmethyl)-, trans-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

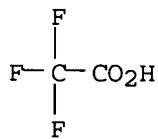
CRN 442517-21-7  
CMF C29 H27 N7 O3

Relative stereochemistry.



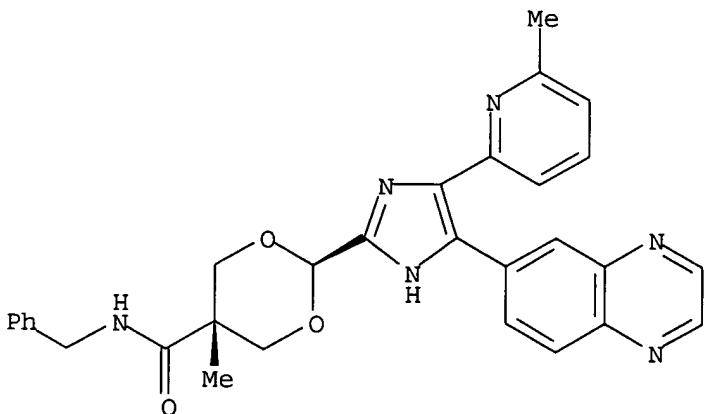
CM 2

CRN 76-05-1  
CMF C2 H F3 O2



RN 442517-24-0 HCAPLUS  
CN 1,3-Dioxane-5-carboxamide, 5-methyl-2-[4-(6-methyl-2-pyridinyl)-5-(6-quinoxalinyl)-1H-imidazol-2-yl]-N-(phenylmethyl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 442517-27-3 HCAPLUS  
CN Benzamide, N-[trans-5-methyl-2-[4-(6-methyl-2-pyridinyl)-5-(6-quinoxalinyl)-1H-imidazol-2-yl]-1,3-dioxan-5-yl]-, trifluoroacetate (9CI)

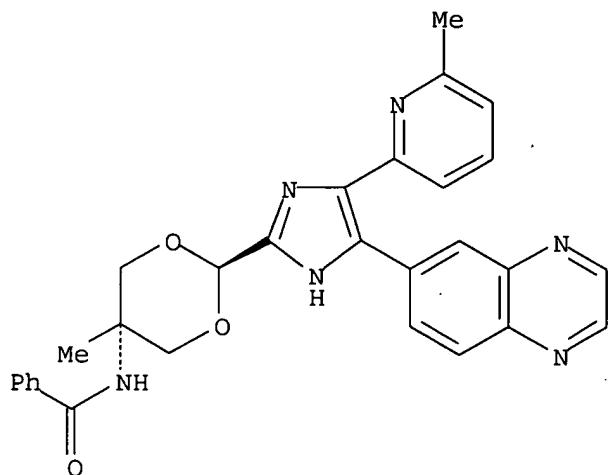
02/11/2006 10666192.trn

(CA INDEX NAME)

CM 1

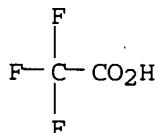
CRN 442517-26-2  
CMF C29 H26 N6 O3

Relative stereochemistry.

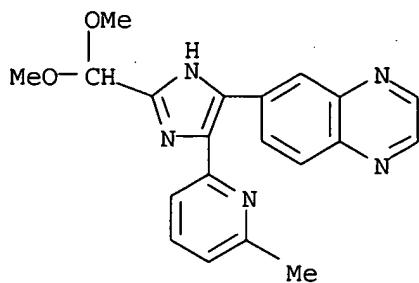


CM 2

CRN 76-05-1  
CMF C2 H F3 O2

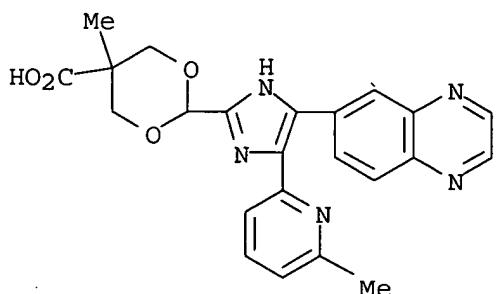


IT 442517-38-6P 442517-40-0P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation of 2-imidazolyl-1,3-dioxane-5-carboxamides and analogs as ALK-5  
receptor inhibitors)  
RN 442517-38-6 HCPLUS  
CN Quinoxaline, 6-[2-(dimethoxymethyl)-5-(6-methyl-2-pyridinyl)-1H-imidazol-4-  
yl]- (9CI) (CA INDEX NAME)



RN 442517-40-0 HCPLUS

CN 1,3-Dioxane-5-carboxylic acid, 5-methyl-2-[4-(6-methyl-2-pyridinyl)-5-(6-quinoxalinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 2 OF 17 HCPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:391702 HCPLUS

DOCUMENT NUMBER: 136:401755

TITLE: Preparation of 2-pyridyl substituted diarylimidazoles as ALK5 receptor modulators

INVENTOR(S): Bender, Paul E.; Burgess, Joelle L.; Callahan, James F.

PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA

SOURCE: PCT Int. Appl., 17 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

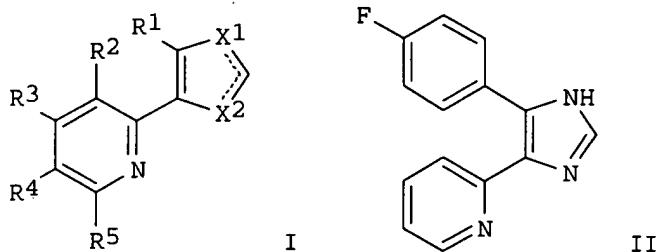
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

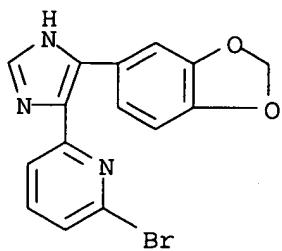
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002040468	A1	20020523	WO 2001-US43994	20011114 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,				

BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  
AU 2002025730 A5 20020527 AU 2002-25730 20011114 <  
EP 1349851 A1 20031008 EP 2001-995214 20011114  
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR  
JP 2004517068 T2 20040610 JP 2002-543479 20011114  
US 2004039198 A1 20040226 US 2003-416761 20030514  
PRIORITY APPLN. INFO.: US 2000-249199P P 20001116  
WO 2001-US43994 W 20011114

OTHER SOURCE(S): MARPAT 136:401755  
GI

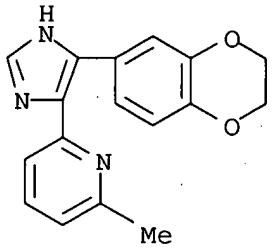


- AB The title compds. [I; R1 = (un)substituted Ph, naphthyl, Ph fused with a 5-7 membered aromatic or non-aromatic ring wherein said ring contains up to three heteroatoms, independently selected from N, O and S; R2-R5 = H, alkyl, alkoxy, etc.; or an adjacent pair of R2-R5 form (un)substituted fused 6-membered aromatic ring optionally containing up to 2 N atoms, and the remainder of R2-R5 = H, alkyl, alkoxy, etc.; one of X1 and X2 = N and the other = NR6 (wherein R6 = H, alkyl)], useful in treating a disease mediated by the ALK5 receptor in mammals, were prepared. Thus, condensation of pyridine-2-carboxaldehyde with 1-[1-isocyano-1-(toluene-4-sulfonyl)methyl]-4-fluorobenzene and ammonia afforded II. The compds. I generally show ALK5 receptor modulator activity having IC<sub>50</sub> values of 0.0001-10 μM.
- IT 428816-36-8P 428816-37-9P  
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(preparation of 2-pyridyl substituted diarylimidazoles as ALK5 receptor modulators)
- RN 428816-36-8 HCAPLUS
- CN Pyridine, 2-[5-(1,3-benzodioxol-5-yl)-1H-imidazol-4-yl]-6-bromo- (9CI)  
(CA INDEX NAME)



RN 428816-37-9 HCPLUS

CN Pyridine, 2-[5-(2,3-dihydro-1,4-benzodioxin-6-yl)-1H-imidazol-4-yl]-6-methyl- (9CI) (CA INDEX NAME)



IT 428816-33-5P, 2-[5-(4-Fluorophenyl)-1H-imidazol-4-yl]pyridine

428816-34-6P 428816-35-7P 428816-40-4P

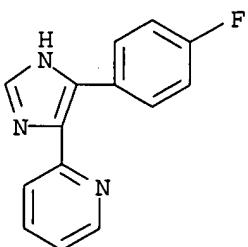
428816-41-5P 428816-42-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 2-pyridyl substituted diarylimidazoles as ALK5 receptor modulators)

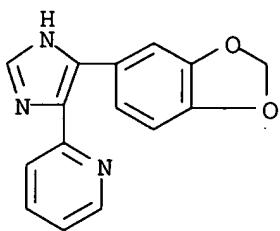
RN 428816-33-5 HCPLUS

CN Pyridine, 2-[5-(4-fluorophenyl)-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)

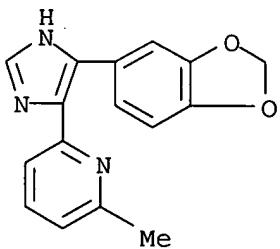


RN 428816-34-6 HCPLUS

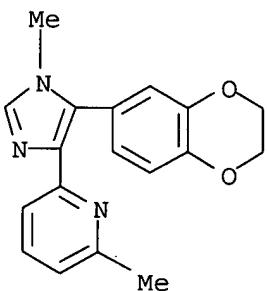
CN Pyridine, 2-[5-(1,3-benzodioxol-5-yl)-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)



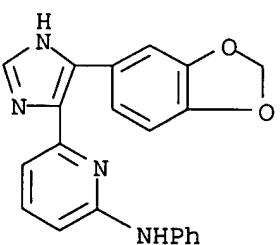
RN 428816-35-7 HCAPLUS  
CN Pyridine, 2-[5-(1,3-benzodioxol-5-yl)-1H-imidazol-4-yl]-6-methyl- (9CI)  
(CA INDEX NAME)



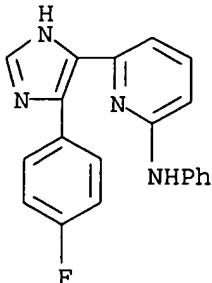
RN 428816-40-4 HCAPLUS  
CN Pyridine, 2-[5-(2,3-dihydro-1,4-benzodioxin-6-yl)-1-methyl-1H-imidazol-4-yl]-6-methyl- (9CI) (CA INDEX NAME)



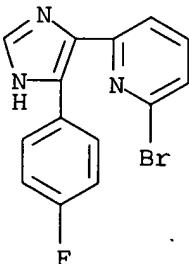
RN 428816-41-5 HCAPLUS  
CN 2-Pyridinamine, 6-[5-(1,3-benzodioxol-5-yl)-1H-imidazol-4-yl]-N-phenyl- (9CI) (CA INDEX NAME)



RN 428816-42-6 HCPLUS  
 CN 2-Pyridinamine, 6-[5-(4-fluorophenyl)-1H-imidazol-4-yl]-N-phenyl- (9CI)  
 (CA INDEX NAME)



IT 428816-45-9  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation of 2-pyridyl substituted diarylimidazoles as ALK5 receptor modulators)  
 RN 428816-45-9 HCPLUS  
 CN Pyridine, 2-bromo-6-[5-(4-fluorophenyl)-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)

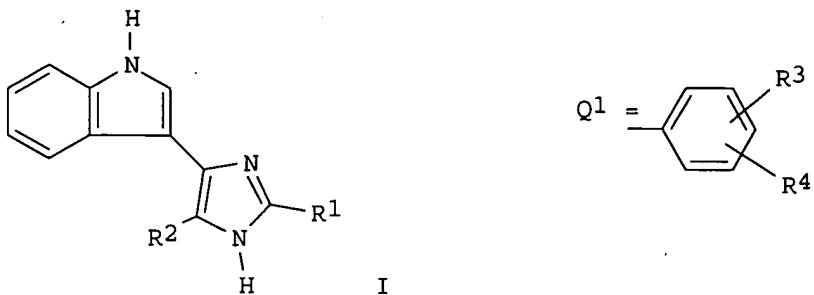


REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 3 OF 17 HCPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 2002:286702 HCPLUS  
 DOCUMENT NUMBER: 136:325539  
 TITLE: Preparation of 4-(3-indolyl)imidazole derivatives as interleukin 6 production **inhibitors**  
 INVENTOR(S): Ota, Tomoki; Kondo, Kazuyuki; Chonan, Tomomichi;  
 Kobori, Takeo; Aida, Kenichi; Sano, Yoko; Tsuji, Tomoko; Sugimoto, Kikuo  
 PATENT ASSIGNEE(S): Taisho Pharmaceutical Co., Ltd., Japan; Sagami Chemical Research Center  
 SOURCE: Jpn. Kokai Tokkyo Koho, 9 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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 JP 2002114780 A2 20020416 JP 2000-310684 20001011 <--  
 PRIORITY APPLN. INFO.: OTHER SOURCE(S): MARPAT 136:325539 20001011  
 GI



AB The title compds. I [R1 = Q1, etc.; R2 = pyridyl, etc.; R3, R4 = H, halo, etc.] are prepared In an in vitro test using cells treated with interleukin 1- $\alpha$ , I [R1 = 4-methylphenyl; R2 = 4-(trifluoromethyl)phenyl] at 12.5  $\mu\text{M}$  gave 41% inhibition of interleukin 6 production

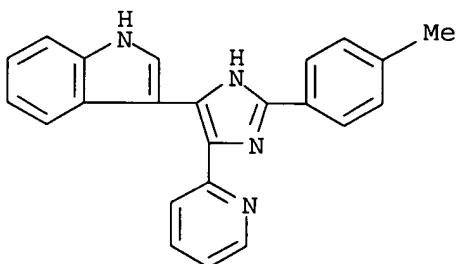
IT 412351-63-4P, SKA 0971 412351-64-5P, SKA 0972  
 412351-70-3P, SKA 0973

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of indolylimidazole derivs. as interleukin 6 production inhibitors)

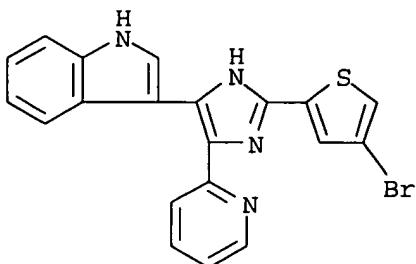
RN 412351-63-4 HCPLUS

CN 1H-Indole, 3-[2-(4-methylphenyl)-5-(2-pyridinyl)-1H-imidazol-4-yl]- (9CI)  
 (CA INDEX NAME)



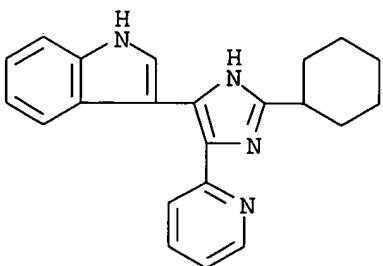
RN 412351-64-5 HCPLUS

CN 1H-Indole, 3-[2-(4-bromo-2-thienyl)-5-(2-pyridinyl)-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)



RN 412351-70-3 HCPLUS

CN 1H-Indole, 3-[2-cyclohexyl-5-(2-pyridinyl)-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)



L12 ANSWER 4 OF 17 HCPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:730730 HCPLUS

DOCUMENT NUMBER: 135:272959

TITLE: Preparation of triarylimidazole derivatives as cytokine inhibitors

INVENTOR(S): Harling, John David; Gaster, Laramie Mary

PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA

SOURCE: PCT Int. Appl., 27 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001072737	A1	20011004	WO 2001-GB1314	20010326 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1268465	A1	20030102	EP 2001-915488	20010326
EP 1268465	B1	20050601		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

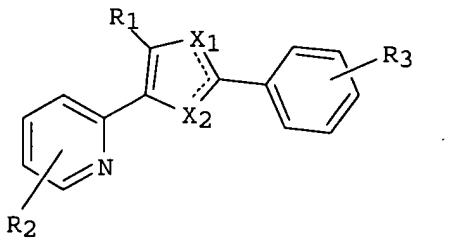
JP 2003528870	T2	20030930	JP 2001-570648	20010326
AT 296821	E	20050615	AT 2001-915488	20010326
US 2003149277	A1	20030807	US 2003-239815	20030121
WS 6906089	B2	20050614		

PRIORITY APPN. INFO.:

GB 2000-7405	A 20000327
WO 2001-GB1314	W 20010326

OTHER SOURCE(S): MARPAT 135:272959

GI



AB A process for preparing compds. of formula I or a pharmaceutically acceptable salt thereof, wherein R<sub>1</sub> = naphthyl or Ph optionally substituted with one or more substituents selected from the group consisting of halo, -O-C<sub>1</sub>-6alkyl, -S-C<sub>1</sub>-6alkyl, C<sub>1</sub>-6alkyl, C<sub>1</sub>-6haloalkyl, -O-(CH<sub>2</sub>)<sub>n</sub>-Ph, -S-(CH<sub>2</sub>)<sub>n</sub>-Ph, CN, Ph, and CO<sub>2</sub>R, wherein R is H or C<sub>1</sub>-6alkyl, and n is 0, 1, 2 or 3; or R<sub>1</sub> is Ph fused with an aromatic or nonarom. cyclic ring of 5-7 members wherein said cyclic ring optionally contains up to three heteroatoms, independently selected from N, O and S; R<sub>2</sub> = H, C<sub>1</sub>-6alkyl, C<sub>1</sub>-6alkoxy, Ph, NH(CH<sub>2</sub>)<sub>n</sub>-Ph, NH-C<sub>1</sub>-6alkyl, halo, or alkoxy; R<sub>3</sub> is COOH, tetrazole, CN, NO<sub>2</sub>, OH, -S-C<sub>1</sub>-6alkyl, -SO-C<sub>1</sub>-6alkyl, -O-C<sub>1</sub>-6alkyl, SONH<sub>2</sub>, CHO, CH<sub>2</sub>OH, (CH<sub>2</sub>)<sub>n</sub>NH<sub>2</sub>, CONHOR', O(CH<sub>2</sub>)<sub>n</sub>CO<sub>2</sub>R', O(CH<sub>2</sub>)<sub>n</sub>CONHR', CONHR', (CH<sub>2</sub>)<sub>n</sub>CO<sub>2</sub>R', or (CH<sub>2</sub>)<sub>n</sub>CONHR' wherein R' is H or C<sub>1</sub>-6alkyl, and n is 0, 1, 2 or 3; and one of X<sub>1</sub> and X<sub>2</sub> is N or CR'', and the other is NR'' or CHR'' wherein R'' is H, C<sub>1</sub>-6alkyl, or C<sub>3</sub>-7cycloalkyl; or when one of X<sub>1</sub> and X<sub>2</sub> is N or CR'' then the other may be S or O;. Provided that the compound is not one in which R<sub>1</sub> is naphthyl or Ph optionally substituted with one or more substituents selected from the group consisting of halo, -O-C<sub>1</sub>-6alkyl, -S-C<sub>1</sub>-6alkyl, C<sub>1</sub>-6alkyl, -O-(CH<sub>2</sub>)<sub>n</sub>-Ph, -S-(CH<sub>2</sub>)<sub>n</sub>-Ph, CN, Ph, and CO<sub>2</sub>R, wherein R = H or C<sub>1</sub>-6alkyl and n is 0, 1, 2 or 3; or R<sub>1</sub> is Ph fused with an aromatic or nonarom. cyclic ring of 5-7 members wherein said cyclic ring optionally contains up to two heteroatoms, independently selected from N, O and S; and R<sub>2</sub> is H, NH(CH<sub>2</sub>)<sub>n</sub>-Ph or NH-C<sub>1</sub>-6alkyl; and R<sub>3</sub> is CO<sub>2</sub>H, CONH<sub>2</sub>, CN, NO<sub>2</sub>, C<sub>1</sub>-6alkylthio, SO<sub>2</sub>-C<sub>1</sub>-6alkyl, C<sub>1</sub>-6alkoxy, SONH<sub>2</sub>, CONHOH, NH<sub>2</sub>, CHO, CH<sub>2</sub>OH, CH<sub>2</sub>NH<sub>2</sub>, or CO<sub>2</sub>R, wherein R = H or C<sub>1</sub>-6alkyl. Thus, 4-(4-benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)benzoyl chloride hydrochloride was suspended in THF and treated with a solution of NHMe in H<sub>2</sub>O to give 60% 4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-N-methylbenzamide. The prepared compds. are useful in the treatment and prevention of chronic renal disease, acute renal disease, wound healing, arthritis, osteoporosis, kidney disease, congestive heart failure, ulcers, ocular disorders, corneal wounds, diabetic nephropathy, impaired neurol. function, Alzheimer's disease, trophic conditions, atherosclerosis, peritoneal and sub-dermal adhesion, any disease wherein fibrosis is a major component, and restenosis, as **inhibitors** of the transforming growth factor, ("TGF")-p3 signaling pathway. The compds.

of this invention generally show ALK5 receptor modulator activity having IC<sub>50</sub> values in the range of 0.0001 to 10μM.

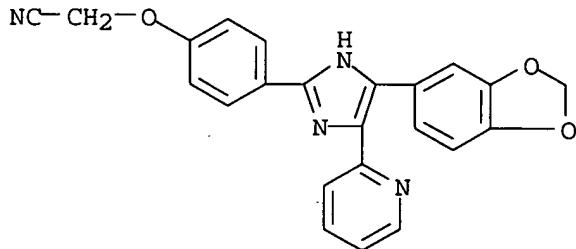
IT 364050-01-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of triarylimidazole derivs. as cytokine inhibitors)

RN 364050-01-1 HCAPLUS

CN Acetonitrile, [4-[4-(1,3-benzodioxol-5-yl)-5-(2-pyridinyl)-1H-imidazol-2-yl]phenoxy]- (9CI) (CA INDEX NAME)



IT 364049-94-5P 364050-02-2P 364050-08-8P

364050-11-3P 364050-14-6P 364050-17-9P

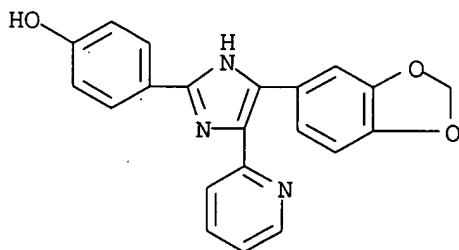
364050-20-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of triarylimidazole derivs. as cytokine inhibitors)

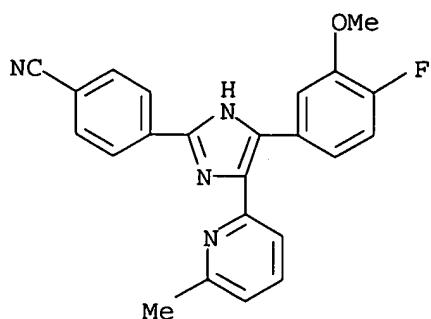
RN 364049-94-5 HCAPLUS

CN Phenol, 4-[4-(1,3-benzodioxol-5-yl)-5-(2-pyridinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



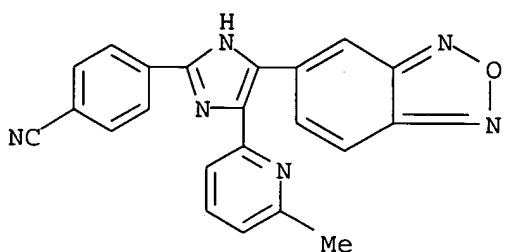
RN 364050-02-2 HCAPLUS

CN Benzonitrile, 4-[4-(4-fluoro-3-methoxyphenyl)-5-(6-methyl-2-pyridinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



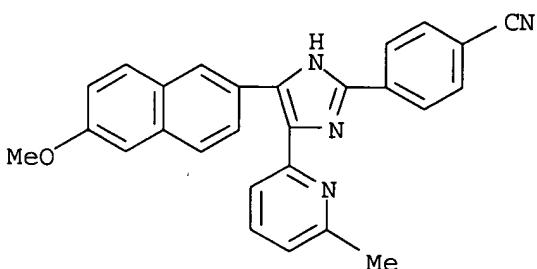
RN 364050-08-8 HCAPLUS

CN Benzonitrile, 4-[4-(2,1,3-benzoxadiazol-5-yl)-5-(6-methyl-2-pyridinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



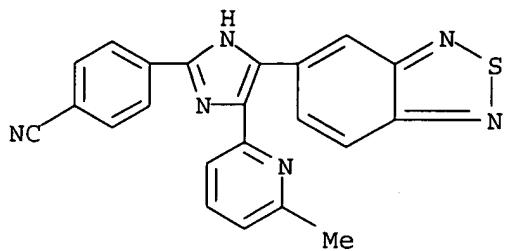
RN 364050-11-3 HCAPLUS

CN Benzonitrile, 4-[4-(6-methoxy-2-naphthalenyl)-5-(6-methyl-2-pyridinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)

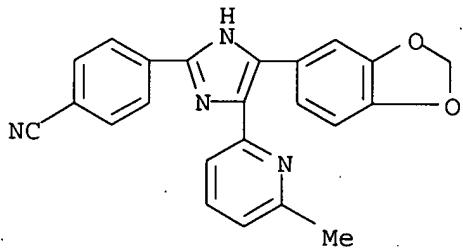


RN 364050-14-6 HCAPLUS

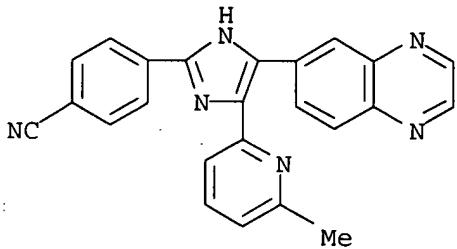
CN Benzonitrile, 4-[4-(2,1,3-benzothiadiazol-5-yl)-5-(6-methyl-2-pyridinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



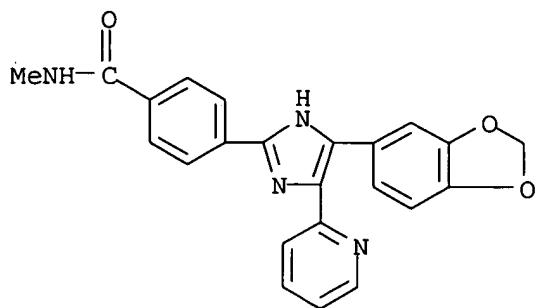
RN 364050-17-9 HCPLUS  
 CN Benzonitrile, 4-[4-(1,3-benzodioxol-5-yl)-5-(6-methyl-2-pyridinyl)-1H-imidazol-2-yl] - (9CI) (CA INDEX NAME)



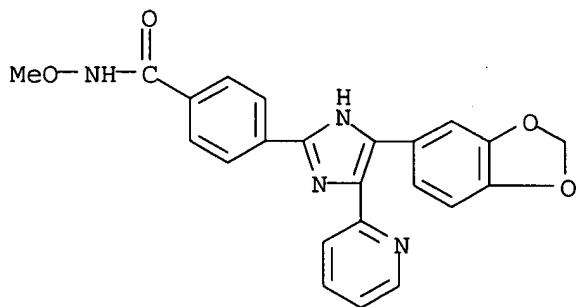
RN 364050-20-4 HCPLUS  
 CN Benzonitrile, 4-[4-(6-methyl-2-pyridinyl)-5-(6-quinoxalinyl)-1H-imidazol-2-yl] - (9CI) (CA INDEX NAME)



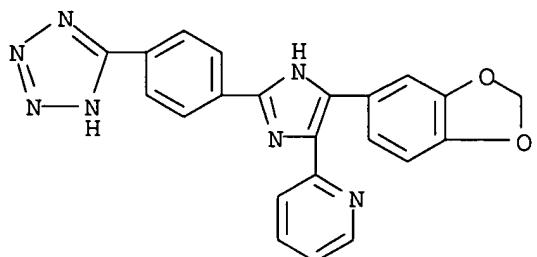
IT 364049-96-7P 364049-97-8P 364049-98-9P  
 364050-00-0P 364050-04-4P 364050-05-5P  
 364050-07-7P 364050-10-2P 364050-13-5P  
 364050-16-8P 364050-19-1P 364050-22-6P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of triarylimidazole derivs. as cytokine **inhibitors**)  
 RN 364049-96-7 HCPLUS  
 CN Benzamide, 4-[4-(1,3-benzodioxol-5-yl)-5-(2-pyridinyl)-1H-imidazol-2-yl]-N-methyl - (9CI) (CA INDEX NAME)



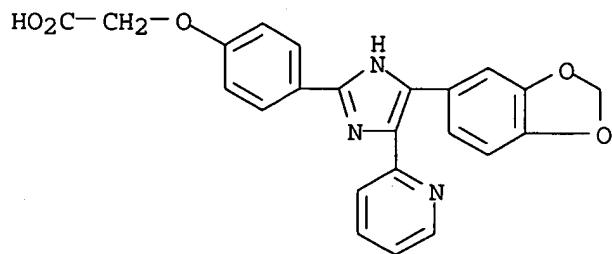
RN 364049-97-8 HCAPLUS  
CN Benzamide, 4-[4-(1,3-benzodioxol-5-yl)-5-(2-pyridinyl)-1H-imidazol-2-yl]-N-methoxy- (9CI) (CA INDEX NAME)



RN 364049-98-9 HCAPLUS  
CN Pyridine, 2-[5-(1,3-benzodioxol-5-yl)-2-[4-(1H-tetrazol-5-yl)phenyl]-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)

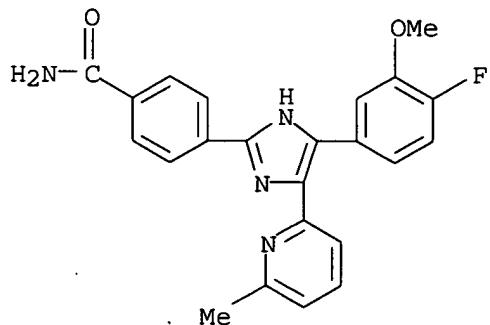


RN 364050-00-0 HCAPLUS  
CN Acetic acid, [4-[4-(1,3-benzodioxol-5-yl)-5-(2-pyridinyl)-1H-imidazol-2-yl]phenoxy]- (9CI) (CA INDEX NAME)



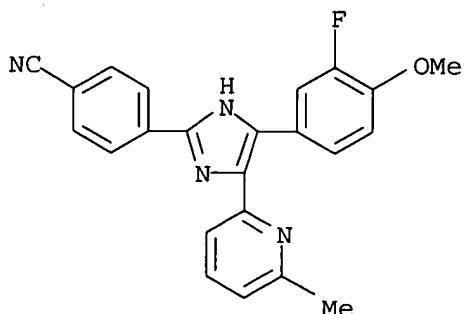
RN 364050-04-4 HCAPLUS

CN Benzamide, 4-[4-(4-fluoro-3-methoxyphenyl)-5-(6-methyl-2-pyridinyl)-1H-imidazol-2-yl] - (9CI) (CA INDEX NAME)



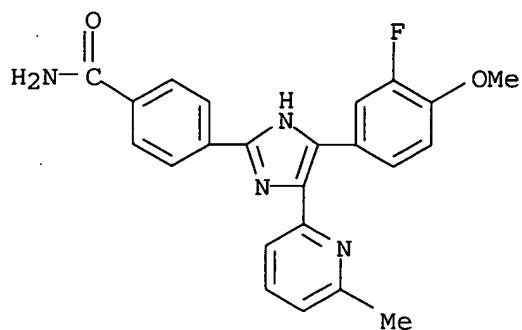
RN 364050-05-5 HCAPLUS

CN Benzonitrile, 4-[4-(3-fluoro-4-methoxyphenyl)-5-(6-methyl-2-pyridinyl)-1H-imidazol-2-yl] - (9CI) (CA INDEX NAME)



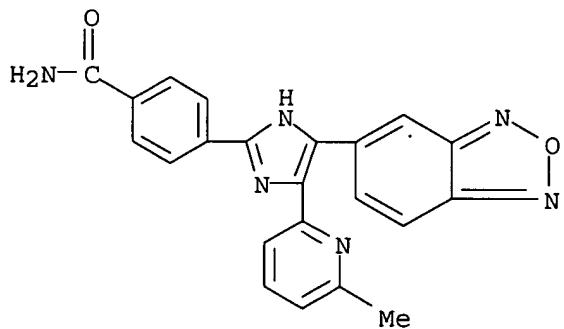
RN 364050-07-7 HCAPLUS

CN Benzamide, 4-[4-(3-fluoro-4-methoxyphenyl)-5-(6-methyl-2-pyridinyl)-1H-imidazol-2-yl] - (9CI) (CA INDEX NAME)



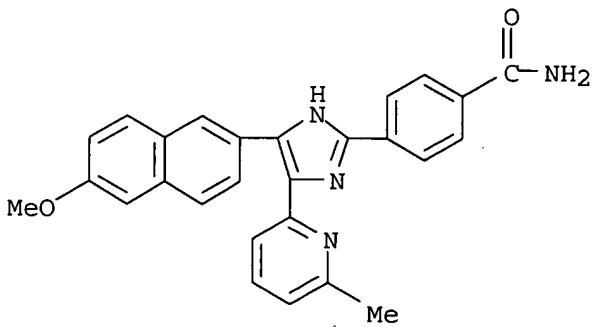
RN 364050-10-2 HCAPLUS

CN Benzamide, 4-[4-(2,1,3-benzoxadiazol-5-yl)-5-(6-methyl-2-pyridinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



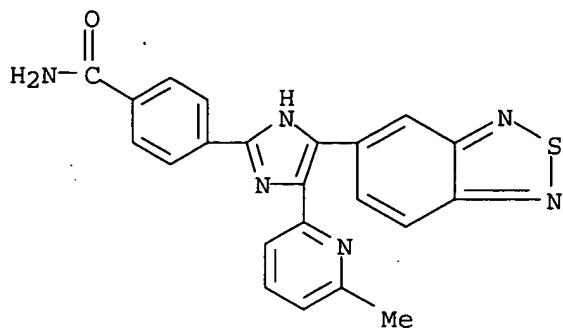
RN 364050-13-5 HCAPLUS

CN Benzamide, 4-[4-(6-methoxy-2-naphthalenyl)-5-(6-methyl-2-pyridinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



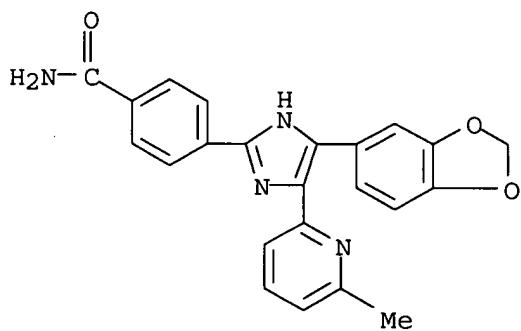
RN 364050-16-8 HCAPLUS

CN Benzamide, 4-[4-(2,1,3-benzothiadiazol-5-yl)-5-(6-methyl-2-pyridinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



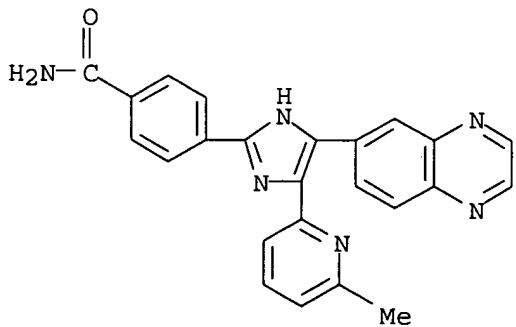
RN 364050-19-1 HCAPLUS

CN Benzamide, 4-[4-(1,3-benzodioxol-5-yl)-5-(6-methyl-2-pyridinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



RN 364050-22-6 HCAPLUS

CN Benzamide, 4-[4-(6-methyl-2-pyridinyl)-5-(6-quinoxalinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)

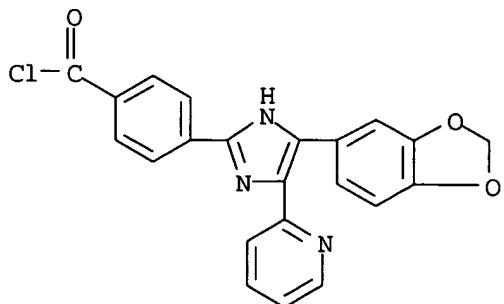


IT 301836-56-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of triarylimidazole derivs. as cytokine **inhibitors**)

RN 301836-56-6 HCAPLUS

CN Benzoyl chloride, 4-[4-(1,3-benzodioxol-5-yl)-5-(2-pyridinyl)-1H-imidazol-2-yl]-, monohydrochloride (9CI) (CA INDEX NAME)



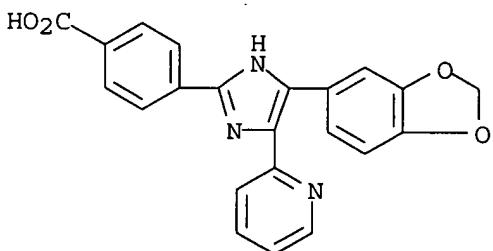
● HCl

IT 301836-35-1

RL: RCT (Reactant); RACT (Reactant or reagent)  
 (reactant; preparation of triarylimidazole derivs. as cytokine  
 inhibitors)

RN 301836-35-1 HCPLUS

CN Benzoic acid, 4-[4-(1,3-benzodioxol-5-yl)-5-(2-pyridinyl)-1H-imidazol-2-yl]-(9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 5 OF 17 HCPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:742089 HCPLUS

DOCUMENT NUMBER: 133:309891

TITLE: Preparation of triarylimidazoles as activin-like kinase (ALK)-5 receptor modulators

INVENTOR(S): Burgess, Joelle Lorraine; Callahan, James Francis

PATENT ASSIGNEE(S): SmithKline Beecham Corporation, USA

SOURCE: PCT Int. Appl., 32 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

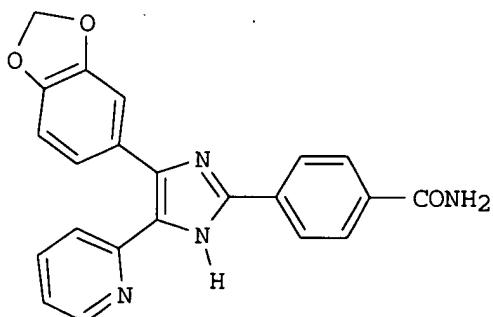
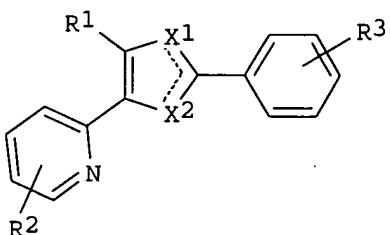
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000061576	A1	20001019	WO 2000-US9147	20000406 <-
W: AE, AL, AU, BA, BB, BG, BR, CA, CN, CZ, DZ, EE, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK,				

MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, TZ, UA, US, UZ,  
 VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  
 RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,  
 DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,  
 CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  
 EP 1169317 A1 20020109 EP 2000-930101 20000406 <--  
 EP 1169317 B1 20030115  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO  
 JP 2002541253 T2 20021203 JP 2000-610849 20000406 <--  
 AT 231143 E 20030215 AT 2000-930101 20000406  
 ES 2187473 T3 20030616 ES 2000-930101 20000406  
 US 6465493 B1 20021015 US 2001-958639 20011009 <--  
 PRIORITY APPLN. INFO.: US 1999-128687P P 19990409  
 OTHER SOURCE(S): MARPAT 133:309891 WO 2000-US9147 W 20000406  
 GI



AB The title compds. [I; R1 = (un)substituted naphthyl, anthracenyl, Ph; R2 = H, NH(CH<sub>2</sub>)<sub>n</sub>Ph, NHalkyl (wherein n = 0-3); R3 = CO<sub>2</sub>H, CONH<sub>2</sub>, CN, etc.; one of X1 and X2 = N, CR', and the other is NR', CHR' (R' = H, OH, alkyl, cycloalkyl); or when one of X1 and X2 = N, CR' then the other may be S, O], useful as **inhibitors** of the transforming growth factor (TGF)- $\beta$  signaling pathway, were prepared E.g., a 2-step synthesis of imidazole II was given. In general, the compds. I showed IC<sub>50</sub> of 0.0001-10  $\mu$ M against ALK-5 receptor binding.

IT 301836-29-3P 301836-30-6P 301836-34-0P  
 301836-35-1P 301836-36-2P 301836-38-4P  
 301836-42-0P 301836-45-3P 301836-46-4P

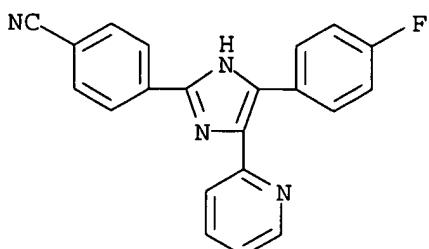
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of triarylimidazoles as activin-like kinase (ALK)-5 receptor modulators)

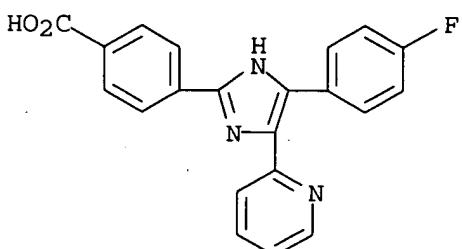
RN 301836-29-3 HCPLUS

CN Benzonitrile, 4-[4-(4-fluorophenyl)-5-(2-pyridinyl)-1H-imidazol-2-yl]-(9CI) (CA INDEX NAME)



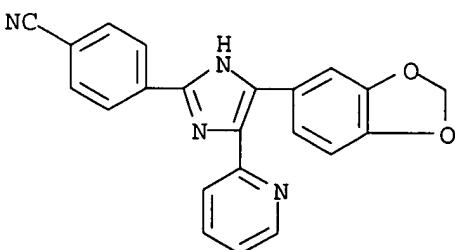
RN 301836-30-6 HCPLUS

CN Benzoic acid, 4-[4-(4-fluorophenyl)-5-(2-pyridinyl)-1H-imidazol-2-yl]-(9CI) (CA INDEX NAME)



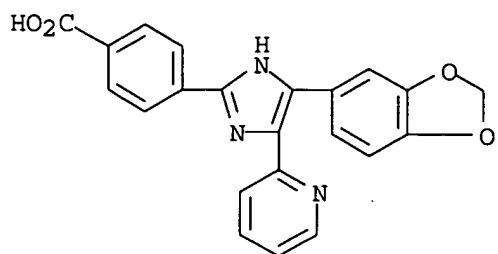
RN 301836-34-0 HCPLUS

CN Benzonitrile, 4-[4-(1,3-benzodioxol-5-yl)-5-(2-pyridinyl)-1H-imidazol-2-yl]-(9CI) (CA INDEX NAME)

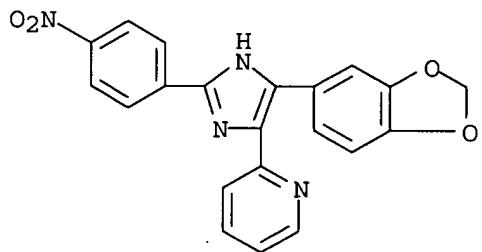


RN 301836-35-1 HCPLUS

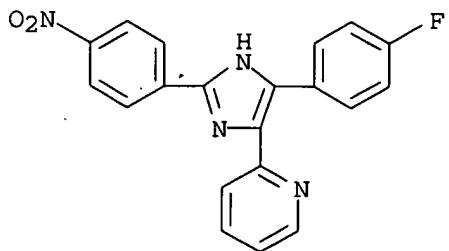
CN Benzoic acid, 4-[4-(1,3-benzodioxol-5-yl)-5-(2-pyridinyl)-1H-imidazol-2-yl]-(9CI) (CA INDEX NAME)



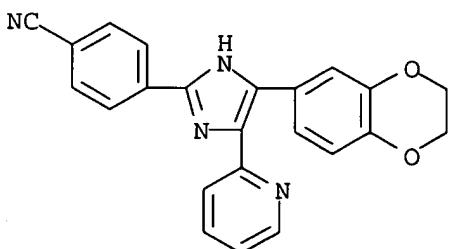
RN 301836-36-2 HCAPLUS  
CN Pyridine, 2-[5-(1,3-benzodioxol-5-yl)-2-(4-nitrophenyl)-1H-imidazol-4-yl]-  
(9CI) (CA INDEX NAME)



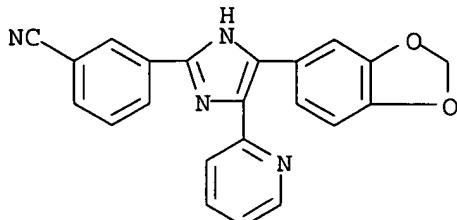
RN 301836-38-4 HCAPLUS  
CN Pyridine, 2-[5-(4-fluorophenyl)-2-(4-nitrophenyl)-1H-imidazol-4-yl]-  
(9CI) (CA INDEX NAME)



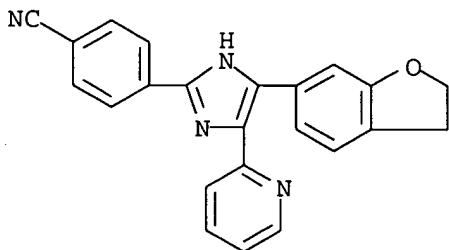
RN 301836-42-0 HCAPLUS  
CN Benzonitrile, 4-[4-(2,3-dihydro-1,4-benzodioxin-6-yl)-5-(2-pyridinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



RN 301836-45-3 HCPLUS  
 CN Benzonitrile, 3-[4-(1,3-benzodioxol-5-yl)-5-(2-pyridinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



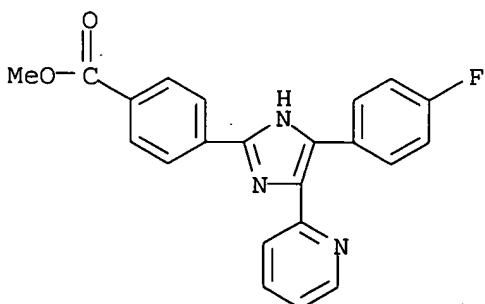
RN 301836-46-4 HCPLUS  
 CN Benzonitrile, 4-[4-(2,3-dihydro-6-benzofuranyl)-5-(2-pyridinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



IT 301836-31-7P 301836-32-8P 301836-37-3P  
 301836-39-5P 301836-40-8P 301836-41-9P  
 301836-43-1P 301836-44-2P 301836-47-5P  
 301836-48-6P 301836-49-7P 301836-51-1P

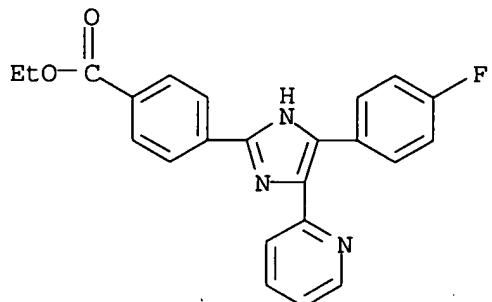
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of triarylimidazoles as activin-like kinase (ALK)-5 receptor modulators)

RN 301836-31-7 HCPLUS  
 CN Benzoic acid, 4-[4-(4-fluorophenyl)-5-(2-pyridinyl)-1H-imidazol-2-yl]-, methyl ester (9CI) (CA INDEX NAME)

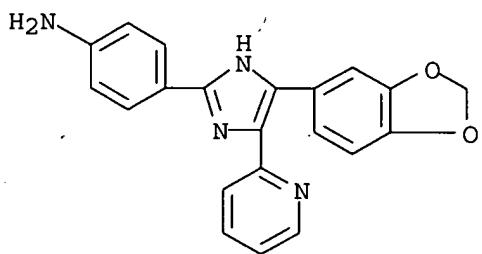


02/11/2006 10666192.trn

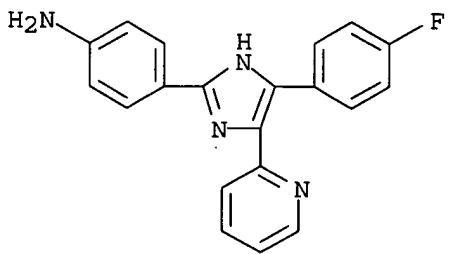
RN 301836-32-8 HCAPLUS  
CN Benzoic acid, 4-[4-(4-fluorophenyl)-5-(2-pyridinyl)-1H-imidazol-2-yl]-, ethyl ester (9CI) (CA INDEX NAME)



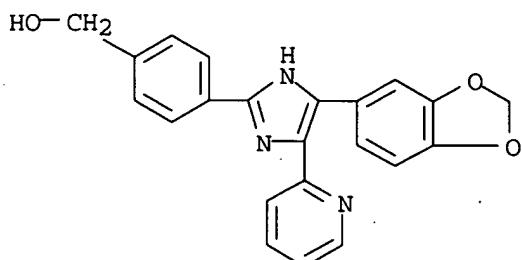
RN 301836-37-3 HCAPLUS  
CN Benzenamine, 4-[4-(1,3-benzodioxol-5-yl)-5-(2-pyridinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



RN 301836-39-5 HCAPLUS  
CN Benzenamine, 4-[4-(4-fluorophenyl)-5-(2-pyridinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)

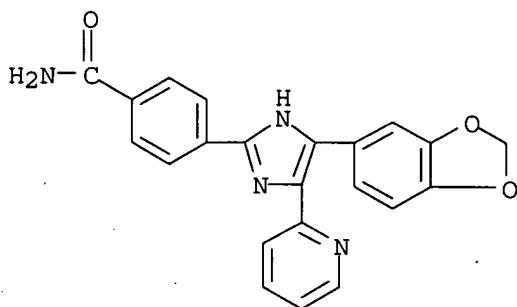


RN 301836-40-8 HCAPLUS  
CN Benzenemethanol, 4-[4-(1,3-benzodioxol-5-yl)-5-(2-pyridinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



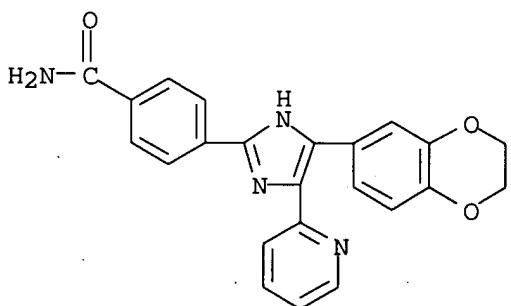
RN 301836-41-9 HCPLUS

CN Benzamide, 4-[4-(1,3-benzodioxol-5-yl)-5-(2-pyridinyl)-1H-imidazol-2-yl] -  
(9CI) (CA INDEX NAME)



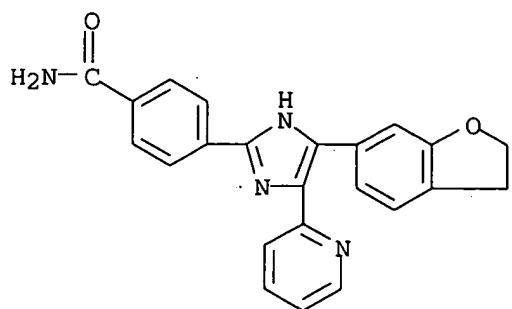
RN 301836-43-1 HCPLUS

CN Benzamide, 4-[4-(2,3-dihydro-1,4-benzodioxin-6-yl)-5-(2-pyridinyl)-1H-imidazol-2-yl] - (9CI) (CA INDEX NAME)

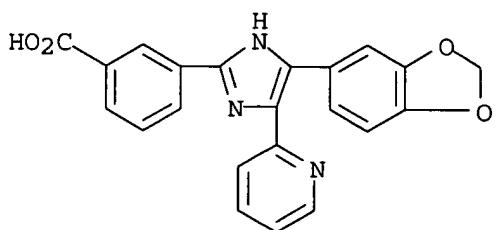


RN 301836-44-2 HCPLUS

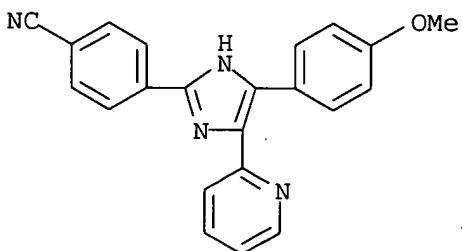
CN Benzamide, 4-[4-(2,3-dihydro-6-benzofuranyl)-5-(2-pyridinyl)-1H-imidazol-2-yl] - (9CI) (CA INDEX NAME)



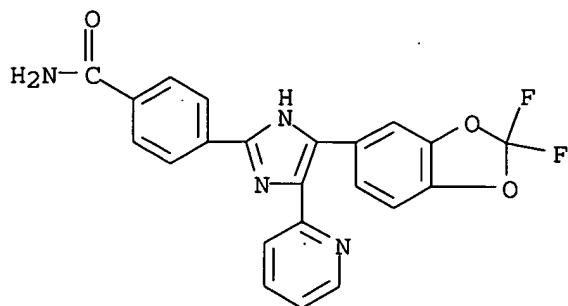
RN 301836-47-5 HCPLUS  
CN Benzoic acid, 3-[4-(1,3-benzodioxol-5-yl)-5-(2-pyridinyl)-1H-imidazol-2-yl] - (9CI) (CA INDEX NAME)



RN 301836-48-6 HCPLUS  
CN Benzonitrile, 4-[4-(4-methoxyphenyl)-5-(2-pyridinyl)-1H-imidazol-2-yl] - (9CI) (CA INDEX NAME)

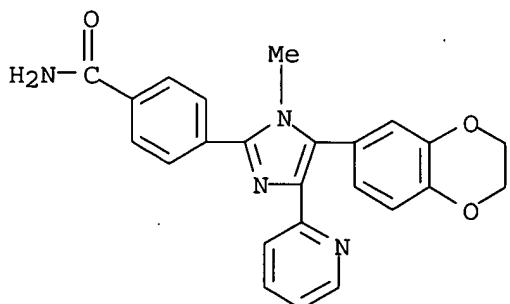


RN 301836-49-7 HCPLUS  
CN Benzamide, 4-[4-(2,2-difluoro-1,3-benzodioxol-5-yl)-5-(2-pyridinyl)-1H-imidazol-2-yl] - (9CI) (CA INDEX NAME)



RN 301836-51-1 HCPLUS

CN Benzamide, 4-[5-(2,3-dihydro-1,4-benzodioxin-6-yl)-1-methyl-4-(2-pyridinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)

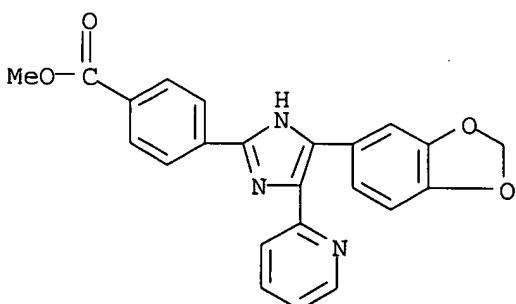


IT 301836-64-6 301836-68-0

RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of triarylimidazoles as activin-like kinase (ALK)-5 receptor modulators)

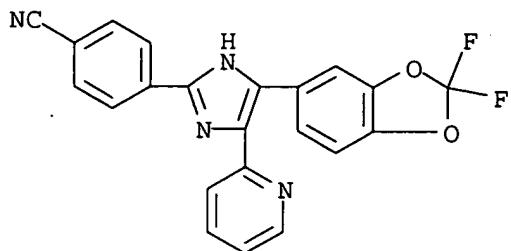
RN 301836-64-6 HCPLUS

CN Benzoic acid, 4-[4-(1,3-benzodioxol-5-yl)-5-(2-pyridinyl)-1H-imidazol-2-yl]-, methyl ester (9CI) (CA INDEX NAME)



RN 301836-68-0 HCPLUS

CN Benzonitrile, 4-[4-(2,2-difluoro-1,3-benzodioxol-5-yl)-5-(2-pyridinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)

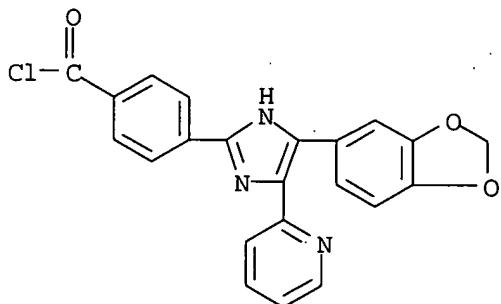


IT 301836-56-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of triarylimidazoles as activin-like kinase (ALK)-5 receptor modulators)

RN 301836-56-6 HCPLUS

CN Benzoyl chloride, 4-[4-(1,3-benzodioxol-5-yl)-5-(2-pyridinyl)-1H-imidazol-2-yl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 6 OF 17 HCPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:89342 HCPLUS

DOCUMENT NUMBER: 132:137387

TITLE: Preparation of 3-nitrogen-containing 5-membered heterocyclylthio-1,2-propanediols and cytosolic phospholipase A2 **inhibitors**.

INVENTOR(S): Makita, Atsushi; Isobe, Yoshiaki; Tomizawa, Hideyuki; Chiba, Shinsuke; Sasaki, Masashi

PATENT ASSIGNEE(S): Japan Energy K. K., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 14 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

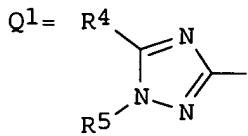
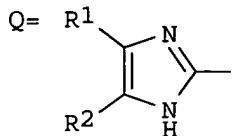
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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JP 2000038380 A2 20000208 JP 1998-209237 19980724 <--  
 PRIORITY APPLN. INFO.: OTHER SOURCE(S): MARPAT 132:137387 19980724  
 GI



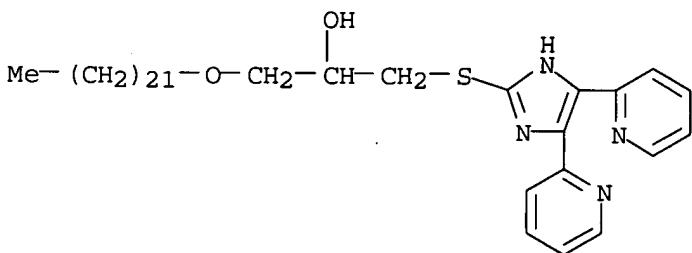
AB ArSCH<sub>2</sub>CH(OH)CH<sub>2</sub>OR<sub>3</sub> (Ar = Q, Q1, 1H-tetrazol-5-yl; R1, R2, R4 = (un)substituted monocyclic aromatic ring, monocyclic heteroarom. ring; R5 = H, C1-3 alkyl; R3 = H, ≤3 double bond-containing C≤22 aliphatic hydrocarbyl), useful as anti-inflammatory agents, pharmaceuticals for treatment of autoimmune disease, analgesic-antipyretic agents, and antiallergic agents, are prepared 4,5-Di-(2-pyridyl)-2-imidazolethiol was reacted with docosyl glycidyl ether in the presence of NET<sub>3</sub> in DMF at 80° for 4 h to give to give 42% 1-[4,5-di-(2-pyridyl)-2-imidazolylthio]-3-docosyloxy-2-propanol showing inhibitory activity on human cPLA<sub>2</sub>.

IT 256531-82-5P, 1-[4,5-Di-(2-pyridyl)-2-imidazolylthio]-3-docosyloxy-2-propanol 256531-83-6P, 1-[4,5-Di-(2-pyridyl)-2-imidazolylthio]-3-octadecyloxy-2-propanol 256531-84-7P, 1-[4,5-Di-(2-pyridyl)-2-imidazolylthio]-3-tetradecyloxy-2-propanol  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of nitrogen-containing 5-membered heterocyclylthiopropanediols and

cytoplasmic phospholipase A2 inhibitors)

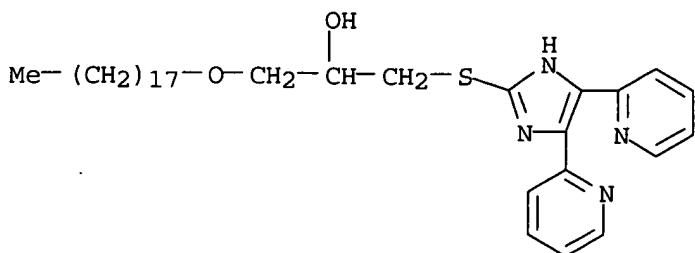
RN 256531-82-5 HCPLUS

CN 2-Propanol, 1-[(4,5-di-2-pyridinyl-1H-imidazol-2-yl)thio]-3-(docosyloxy)-(9CI) (CA INDEX NAME)



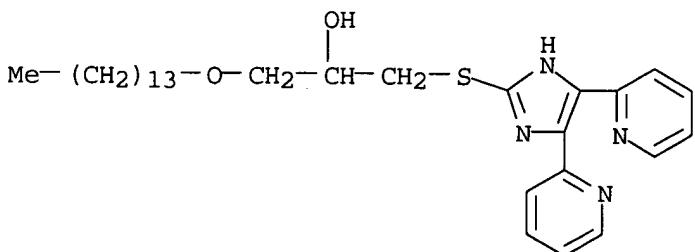
RN 256531-83-6 HCPLUS

CN 2-Propanol, 1-[(4,5-di-2-pyridinyl-1H-imidazol-2-yl)thio]-3-(octadecyloxy)-(9CI) (CA INDEX NAME)



RN 256531-84-7 HCPLUS

CN 2-Propanol, 1-[(4,5-di-2-pyridinyl-1H-imidazol-2-yl)thio]-3-(tetradecyloxy)- (9CI) (CA INDEX NAME)



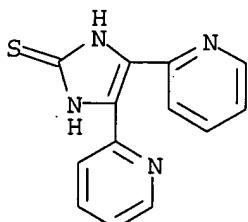
IT 73181-81-4, 4,5-Di-(2-pyridyl)-2-imidazolethiol

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of nitrogen-containing 5-membered heterocyclylthiopropanediols  
and cytoplasmic phospholipase A2 **inhibitors**)

RN 73181-81-4 HCPLUS

CN 2H-Imidazole-2-thione, 1,3-dihydro-4,5-di-2-pyridinyl- (9CI) (CA INDEX NAME)



L12 ANSWER 7 OF 17 HCPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1999:420916 HCPLUS

DOCUMENT NUMBER: 131:87659

TITLE: Preparation of aryl alkylamine derivatives as CRH2 receptor **inhibitors**

INVENTOR(S): Imanishi, Naoki; Moritomo, Hiroyuki; Imamura, Masakazu; Suzuki, Hidenobu

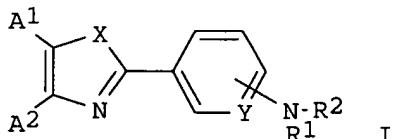
PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 19 pp.

CODEN: JKXXAF

DOCUMENT TYPE: **Patent**  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 11180958	A2	19990706	JP 1997-354491	19971224 <--
PRIORITY APPLN. INFO.:			JP 1997-354491	19971224
OTHER SOURCE(S):	MARPAT	131:87659		
GI				



AB Title compds. [I; A<sub>1</sub> = C<sub>6</sub>H<sub>5</sub>, 4-MeOC<sub>6</sub>H<sub>4</sub>, 1-naphthyl, 2-naphthyl, 2-pyridyl; A<sub>2</sub> = C<sub>6</sub>H<sub>6</sub>, 2-MeC<sub>6</sub>H<sub>4</sub>, 2,3-(CH<sub>3</sub>)<sub>2</sub>C<sub>6</sub>H<sub>3</sub>, 2-MeOC<sub>6</sub>H<sub>4</sub>, 1-naphthyl, etc.; X = S, NH, O; Y = N, CH; R<sub>1</sub> = CH<sub>3</sub>, CH<sub>3</sub>CH<sub>2</sub>, CH<sub>3</sub>(CH<sub>2</sub>)<sub>2</sub>, (CH<sub>3</sub>)<sub>2</sub>CH, CH<sub>3</sub>(CH<sub>2</sub>)<sub>2</sub>O, etc.; R<sub>2</sub> = CH<sub>3</sub>, CH<sub>3</sub>CH<sub>2</sub>, CH<sub>3</sub>(CH<sub>2</sub>)<sub>2</sub>O; R<sub>1</sub>-R<sub>2</sub> = 1-pyrrolinyl, 1-piperidyl, 1-pyrimidyl, 1-morpholinyl, etc.] and pharmaceutical acceptable salts are prepared as CRH<sub>2</sub> receptor **inhibitors** useful in treatment of digestive abnormalities due to stress. Thus, the title compound I (A<sub>1</sub> = C<sub>6</sub>H<sub>5</sub>; A<sub>2</sub> = C<sub>6</sub>H<sub>5</sub>; X = NH; Y = CH; R<sub>1</sub> = CH<sub>3</sub>; R<sub>2</sub> = CH<sub>3</sub>; NR<sub>1</sub>R<sub>2</sub> at para-position) was prepared

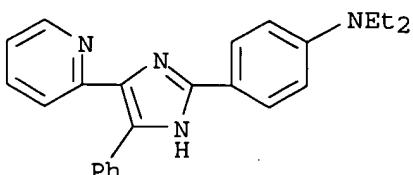
IT 229971-18-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of aryl alkylamine derivs. as CRH<sub>2</sub> receptor **inhibitors**)

RN 229971-18-0 HCAPLUS

CN Benzenamine, N,N-diethyl-4-[4-phenyl-5-(2-pyridinyl)-1H-imidazol-2-yl]-(9CI) (CA INDEX NAME)



L12 ANSWER 8 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 1994:134475 HCAPLUS  
 DOCUMENT NUMBER: 120:134475  
 TITLE: Preparation of phenylimidazoles as prostaglandin I<sub>2</sub> receptor agonists  
 INVENTOR(S): Ikuta, Hironori; Matsui, Makoto; Fukuda, Yoshio;  
 Ogushi, Motoharu; Yamagishi, Yoji  
 PATENT ASSIGNEE(S): Eisai Co Ltd, Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 26 pp.

CODEN: JKXXAF

DOCUMENT TYPE:

Patent

LANGUAGE:

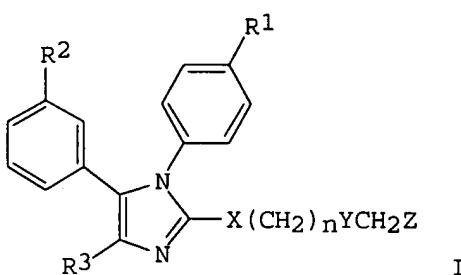
Japanese

FAMILY ACC. NUM. COUNT:

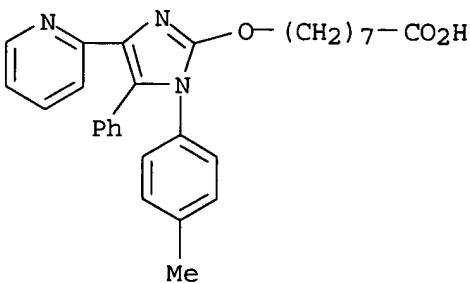
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PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 05208961	A2	19930820	JP 1992-16215	19920131 <--
JP 3169413	B2	20010528		
PRIORITY APPLN. INFO.:			JP 1992-16215	19920131
OTHER SOURCE(S):	MARPAT	120:134475		
GI				



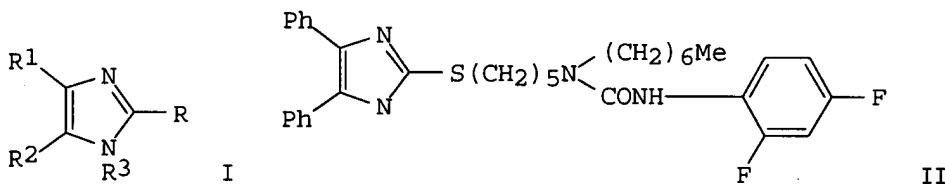
- AB Imidazoles I [R1, R2 = H, OH, lower alkyl, halo, lower alkoxy, NO<sub>2</sub>, acyl, (acyl)amino, alkylsulfonylamino; R3 = same as R1 or R2, (substituted) Ph or pyridyl; X = CH<sub>2</sub>, O, S(O)<sub>m</sub>; Y = CH<sub>2</sub>, O, S; Z = (protected) CO<sub>2</sub>H; m = 0, 1, 2; n = 2-9; if X = S(O)<sub>m</sub> or O and Y = CH<sub>2</sub>, then R3 ≠ (substituted) Ph] or their salts are prepared as blood platelet aggregation inhibitors, vasodilators, or other pharmaceuticals for prevention and treatment of diseases related to prostaglandin I<sub>2</sub> receptor agonists. A DMF solution of 1.8 g HO(CH<sub>2</sub>)<sub>5</sub>OCH<sub>2</sub>CO<sub>2</sub>H was heated with Me<sub>3</sub>COK and 3.3 g 2-chloro-1,4,5-triphenylimidazole at 100° for 2 h to give 3.2 g I (R1-2 = H, R3 = Ph, X = Y = O, Z = CO<sub>2</sub>Me, n = 5), hydrolysis of which gave 2.4 g II (R1-2 = H, R3 = Ph, X = Y = O, Z = CO<sub>2</sub>H, n = 5). II inhibited blood platelet aggregation with IC<sub>50</sub> of 0.0080 μM.
- IT 152628-58-5P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, as prostaglandin I<sub>2</sub> agonist)
- RN 152628-58-5 HCPLUS
- CN Octanoic acid, 8-[(1-(4-methylphenyl)-5-phenyl-4-(2-pyridinyl)-1H-imidazol-2-yl]oxy] - (9CI) (CA INDEX NAME)



L12 ANSWER 9 OF 17 HCPLUS COPYRIGHT 2006 ACS on STN  
ACCESSION NUMBER: 1992:151761 HCPLUS  
DOCUMENT NUMBER: 116:151761  
TITLE: Preparation of N-[(imidazolylthio)alkyl]ureas and analogs as anticholesteremics  
INVENTOR(S): Billheimer, Jeffrey Thomas; Gillies, Peter John;  
Higley, C. Anne; Maduskuie, Thomas Peter, Jr.; Wexler,  
Ruth Richmond  
PATENT ASSIGNEE(S): Du Pont Merck Pharmaceutical Co., USA  
SOURCE: PCT Int. Appl., 146 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO..	DATE
WO 9118885	A1	19911212	WO 1991-US3727	19910604 <-
W: AU, CA, JP, KR				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
US 5166214	A	19921124	US 1990-533241	19900604 <-
AU 9180002	A1	19911231	AU 1991-80002	19910604 <-
US 5318984	A	19940607	US 1992-940372	19920903 <-
PRIORITY APPLN. INFO.:			US 1990-533241	A 19900604
			US 1988-279981	B2 19881205
			US 1989-416606	B2 19891010
			WO 1991-US3727	A 19910604

OTHER SOURCE(S) : MARPAT 116:151761  
GI

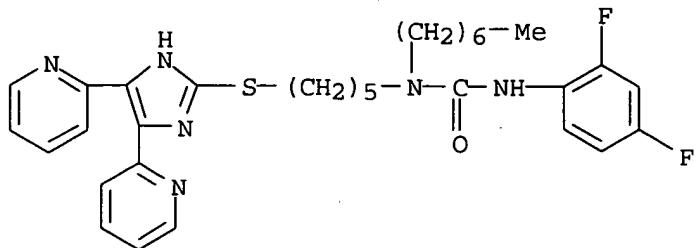


AB Title compds. [I; R = XANR6C(:Y)Z; A = alkylene, alkenylene, etc.; R<sub>1</sub>, R<sub>2</sub> = H, (cyclo)alkyl, pyridyl, (substituted) Ph, etc.; R<sub>1</sub>R<sub>2</sub> = atoms to complete a dibenzanellated ring containing 1 or 2 O; R<sub>3</sub> = H, alkyl, allyl, PhCH<sub>2</sub>, (substituted) Ph; R<sub>6</sub> = (cyclo)alkyl, alkenyl, (substituted) Ph, PhCH<sub>2</sub>, etc.; X = ), S, NR<sub>5</sub>, CH<sub>2</sub>, et.; R<sub>5</sub> = H, alkyl, PhCH<sub>2</sub>; Y = O, S, NH, etc.; Z = NHR<sub>4</sub>, OR<sub>4</sub>, R<sub>4</sub>; R<sub>4</sub> = (fluoro)alkyl, cycloalkyl, (substituted) Ph, PhCH<sub>2</sub>, etc.] were prepared. Thus, 4,5-diphenyl-1H-imidazole-20thiol was condensed with Br(CH<sub>2</sub>)<sub>4</sub>CO<sub>2</sub>Et and the product, after saponification, condensed with heptylamine to give, after reduction, I [R = (CH<sub>2</sub>)<sub>5</sub>NH(CH<sub>2</sub>)<sub>6</sub>Me, R<sub>1</sub> = R<sub>2</sub> = Ph, R<sub>3</sub> = H] which was condensed with 2,4-F<sub>2</sub>C<sub>6</sub>H<sub>3</sub>NCO to give title compound II. The latter gave 46% reduction of serum cholesterol levels in cholesterol-fed hamsters at 10 mg/kg/day orally.

IT 130804-50-1P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, as anticholesteremic)

RN 130804-50-1 HCAPLUS

CN Urea, N'-(2,4-difluorophenyl)-N-[5-[(4,5-di-2-pyridinyl-1H-imidazol-2-yl)thiolpentyl]-N-heptyl- (9CI) (CA INDEX NAME)



L12 ANSWER 10 OF 17 HCPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1991:632240 HCPLUS

DOCUMENT NUMBER: 115:232240

TITLE: Preparation of 4,5-diphenylimidazoles as inhibitors of acyl CoA-cholesterol O-acyltransferase

INVENTOR(S): Bridge, Andrew William; Harris, Neil Victor; Lythgoe, David John; Smith, Christopher

PATENT ASSIGNEE(S): Rhone-Poulenc Sante, Fr.

SOURCE: PCT Int. Appl., 56 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

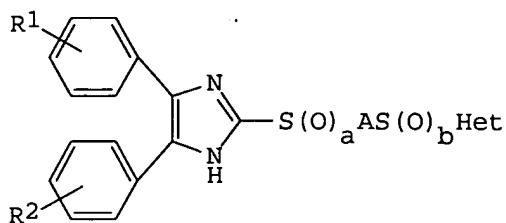
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9109021	A1	19910627	WO 1990-EP2146	19901211 <--
W: AU, CA, FI, HU, JP, KR, NO, SU, US RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
CA 2071498	AA	19910612	CA 1990-2071498	19901211 <--
AU 9170492	A1	19910718	AU 1991-70492	19901211 <--
ZA 9009929	A	19911224	ZA 1990-9929	19901211 <--
EP 505468	A1	19920930	EP 1991-901749	19901211 <--
EP 505468	B1	19950426		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 05502670	T2	19930513	JP 1991-502044	19901211 <--
AT 121733	E	19950515	AT 1991-901749	19901211 <--
PRIORITY APPLN. INFO.:				
		GB 1989-27953	A	19891211
		GB 1990-17844	A	19900814
		WO 1990-EP2146	A	19901211

OTHER SOURCE(S): MARPAT 115:232240

GI



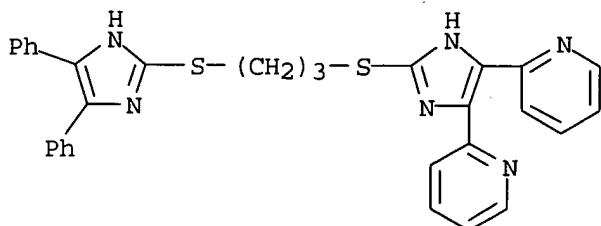
AB Title compds. I [A = CH<sub>2</sub>, C<sub>1-14</sub> alkenediyl, -alkenediyl, -alkynediyl, HOCH<sub>2</sub> (substituted) H<sub>2</sub>C-phenylene-CH<sub>2</sub>; R<sub>1</sub>, R<sub>2</sub> = H, halo, (halo)alkyl, alkoxy, alkylthio, alkylamino, HO<sub>2</sub>C, alkoxy carbonyl; a, b = 0-2; Het = (substituted) 5-7-membered heterocyclyl] or a salt thereof, are prepared I are useful for treatment of atherosclerosis, hyperlipidemia, cholesterol ester storage disease, and atheroma in vein grafts. 4,5-Diphenylimidazole-2-thiol, Me<sub>3</sub>COK, and 1-methyl-2-(3-chloropropylsulfonyl)imidazole (preparation given) were reacted overnight in DMF at ambient temperature to give I [A = (CH<sub>2</sub>)<sub>3</sub>, R<sub>1</sub> = R<sub>2</sub> = H, Het = 1-methylimidazol-2-yl, a = 0, b = 2] (II). II at 0.03 µg/mL in rats gave 108% inhibition of increase in plasma cholesterol induced by a cholesterol supplemented diet. Capsule formulations comprising I are given.

IT 136995-39-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of, as cholesterol acyltransferase **inhibitor**)

RN 136995-39-6 HCPLUS

CN Pyridine, 2,2'-[2-[(3-[(4,5-diphenyl-1H-imidazol-2-yl)thiopropyl]thio]-1H-imidazole-4,5-diyl]bis-, dihydrochloride (9CI) (CA INDEX NAME)



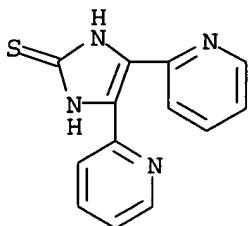
●2 HCl

IT 73181-81-4, 2-Mercapto-4,5-dipyrid-2-ylimidazole

RL: RCT (Reactant); RACT (Reactant or reagent)  
(reaction of, in preparation of cholesterol acyltransferase **inhibitors**)

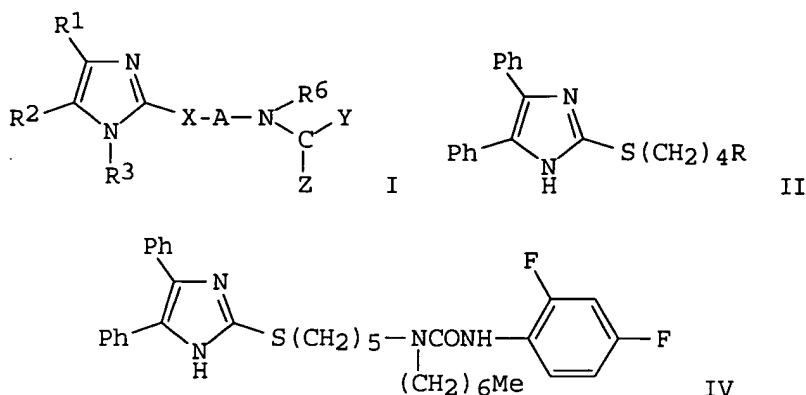
RN 73181-81-4 HCPLUS

CN 2H-Imidazole-2-thione, 1,3-dihydro-4,5-di-2-pyridinyl- (9CI) (CA INDEX NAME)



L12 ANSWER 11 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 1991:6503 HCAPLUS  
 DOCUMENT NUMBER: 114:6503  
 TITLE: Preparation and formulation of imidazoles for the treatment of atherosclerosis  
 INVENTOR(S): Billheimer, Jeffrey Thomas; Gillies, Peter John; Wexler, Ruth Richmond; Higley, C. Anne; Maduskuie, Thomas Peter, Jr.  
 PATENT ASSIGNEE(S): du Pont de Nemours, E. I., and Co., USA  
 SOURCE: Eur. Pat. Appl., 77 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 372445	A1	19900613	EP 1989-122302	19891203 <--
EP 372445	B1	19940309		
R: AT, BE, CH, CA 2003283	DE, ES, FR, GB, GR, IT, LI, LU, NL, SE			
CA 2003283	AA	19900605	CA 1989-2003283	19891117 <--
AT 102609	E	19940315	AT 1989-122302	19891203 <--
ES 2063102	T3	19950101	ES 1989-122302	19891203 <--
DK 8906094	A	19900606	DK 1989-6094	19891204 <--
NO 8904842	A	19900606	NO 1989-4842	19891204 <--
JP 02237980	A2	19900920	JP 1989-313553	19891204 <--
ZA 8909241	A	19910828	ZA 1989-9241	19891204 <--
RU 2028293	C1	19950209	RU 1989-4742800	19891204 <--
AU 8945930	A1	19900607	AU 1989-45930	19891205 <--
AU 628890	B2	19920924		
HU 54121	A2	19910128	HU 1989-6428	19891205 <--
HU 205916	B	19920728		
RU 2026292	C1	19950109	RU 1991-4894323	19910125 <--
US 5318984	A	19940607	US 1992-940372	19920903 <--
PRIORITY APPLN. INFO.:			US 1988-279981	A 19881205
			US 1989-416606	A 19891010
			EP 1989-122302	A 19891203
OTHER SOURCE(S): GI			US 1990-533241	A3 19900604



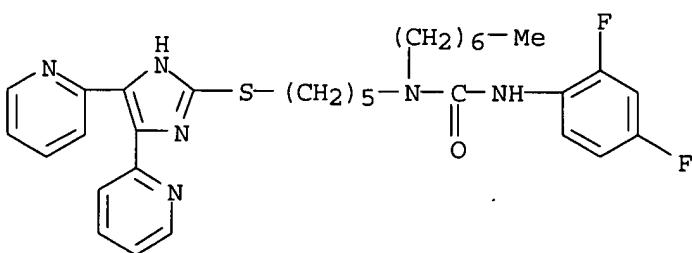
**AB** The title compds. [I; R<sub>1</sub>, R<sub>2</sub> = H, C<sub>2</sub>-8 alkyl, C<sub>3</sub>-8 branched alkyl, C<sub>3</sub>-7 cycloalkyl, C<sub>7</sub>-14 aralkyl, etc., R<sub>3</sub> = H, C<sub>2</sub>-6 alkyl, allyl, PhCH<sub>2</sub>, (substituted) Ph; R<sub>6</sub> = H, C<sub>1</sub>-8 alkyl, C<sub>3</sub>-8 branched alkyl, C<sub>3</sub>-7 cycloalkyl, C<sub>3</sub>-8 alkenyl, alkynyl, (substituted) Ph, etc.; A = C<sub>2</sub>-10 alkylene, C<sub>3</sub>-10 alkenylene, alkynylene; X = S, O, CH<sub>2</sub>, (substituted) imino, SO, SO<sub>2</sub>; Y = O, S, 2H; Z = (substituted) alkyl, cycloalkyl, aralkyl, alkoxy, amino, etc.], useful as acyl-CoA **inhibitors** and anticholesteremics in treatment of atherosclerosis, were prepared Amidation of pentanoic acid derivative II (R = CO<sub>2</sub>H) with heptylamine gave amide II [R = CONH(CH<sub>2</sub>)<sub>6</sub>Me], which was reduced with LiAlH<sub>4</sub> to give amine II [R = CH<sub>2</sub>NH(CH<sub>2</sub>)<sub>6</sub>Me] (III), isolated as the HCl salt. A solution of 2,4-F<sub>2</sub>C<sub>6</sub>H<sub>3</sub>NCO in hexane was added to a solution of III in hexane with stirring at room temperature to give urea IV, which *in vitro* inhibited cholesterol acyltransferase with IC<sub>50</sub> of 13 nM. Also prepared and tested for anticholesteremic activity were 84 addnl. I. Various formulations were given.

**IT** 130804-50-1P 130804-64-7P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, anticholesteremic agent)

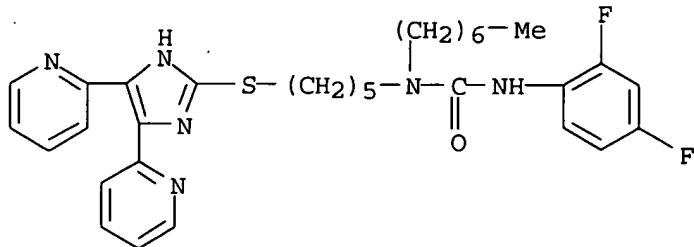
**RN** 130804-50-1 HCPLUS

**CN** Urea, N'-(2,4-difluorophenyl)-N-[5-[(4,5-di-2-pyridinyl-1H-imidazol-2-yl)thiopentyl]-N-heptyl- (9CI) (CA INDEX NAME)



**RN** 130804-64-7 HCPLUS

**CN** Urea, N'-(2,4-difluorophenyl)-N-[5-[(4,5-di-2-pyridinyl-1H-imidazol-2-yl)thiopentyl]-N-heptyl-, hydrochloride (9CI) (CA INDEX NAME)



●x HCl

L12 ANSWER 12 OF 17 HCPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1987:598331 HCPLUS

DOCUMENT NUMBER: 107:198331

TITLE: Preparation of antiinflammatory imidazole derivatives as 5-lipoxygenase **inhibitors**

PATENT ASSIGNEE(S): SmithKline Beckman Corp., USA

SOURCE: Jpn. Kokai Tokkyo Koho, 16 pp.

CODEN: JKXXAF

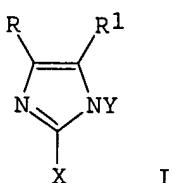
DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 62153274	A2	19870708	JP 1986-297442	19861212 <--
US 4686231	A	19870811	US 1986-856927	19860428 <--
DK 8605938	A	19870613	DK 1986-5938	19861210 <--
EP 236628	A1	19870916	EP 1986-309673	19861211 <--
EP 236628	B1	19921202		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
ZA 8609348	A	19871028	ZA 1986-9348	19861211 <--
AT 82968	E	19921215	AT 1986-309673	19861211 <--
AU 8666452	A1	19870618	AU 1986-66452	19861212 <--
AU 586907	B2	19890727		
PRIORITY APPLN. INFO.:			US 1985-808395	A 19851212
			US 1986-856927	A 19860428
			EP 1986-309673	A 19861211

OTHER SOURCE(S): MARPAT 107:198331  
GI

AB The title compds. (I; X = NHCN, NH2; Y = H, cyano; R, R1 = pyridyl,

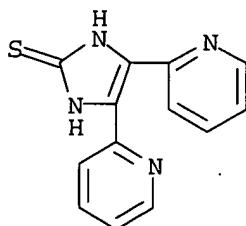
halophenyl, alkoxyphenyl; provided X = NH<sub>2</sub> when Y = cyano; X = NHCN when Y = H) and their pharmaceutically acceptable salts, which inhibit 5-lipoxygenase and are useful for treatment of rheumatoid arthritis, were prepared 2-Bromoanisoin and H<sub>2</sub>NC(:NH)NHCN in DMF were allowed to react for 96 h to give I (X = NH<sub>2</sub>, Y = cyano, R = R<sub>1</sub> = p-MeOC<sub>6</sub>H<sub>4</sub>, or X = NHCN, Y = H, R = R<sub>1</sub> = p-MeOC<sub>6</sub>H<sub>4</sub>) (the structure was not determined). I inhibited arachidonic acid-induced inflammation in mouse ears and inhibited the production of leukotriene C<sub>4</sub> in human leukocytes in vitro. Oral or nasal sprays, eye drops, injection ointments, and lotion compns. containing I were described.

IT 73181-81-4P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, as antiarthritic)

RN 73181-81-4 HCPLUS

CN 2H-Imidazole-2-thione, 1,3-dihydro-4,5-di-2-pyridinyl- (9CI) (CA INDEX NAME)



L12 ANSWER 13 OF 17 HCPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1981:443104 HCPLUS

DOCUMENT NUMBER: 95:43104

TITLE: Bicyclic thiadiazine compounds and their use as medicaments

INVENTOR(S): Goeschke, Richard; Ferrini, Pier Giorgio

PATENT ASSIGNEE(S): Ciba-Geigy A.-G., Switz.

SOURCE: Brit. UK Pat. Appl., 11 pp.

CODEN: BAXXDU

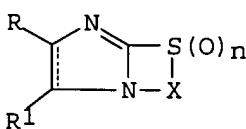
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2039882	A	19800820	GB 1979-427	19790105 <--
PRIORITY APPLN. INFO.: GI			GB 1979-427	A 19790105



I

AB The preparation of the title compds. I (R, R<sub>1</sub> = optionally substituted Ph,

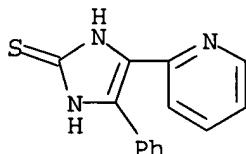
pyridyl, thienyl; X = C<sub>2</sub>-4 alkylene; n = 0, 1, 2) is described. Thus, 5,6-bis(p-methoxyphenyl)imidazolo[2,1-b]dihydrothiazole (II) was prepared from 4,5-bis(p-methoxyphenyl)-2-mercaptopimidazole by treatment with 1.5% NaOH-Br(CH<sub>2</sub>)<sub>2</sub>Br-NaCO<sub>3</sub>-Me<sub>2</sub>CHOH (6 h, reflux) followed by treatment with 20% KOH. I have antiinflammatory, antirheumatic, analgesic, antithrombotic, and prostaglandin synthetase-inhibiting activity. They are useful in the treatment of rheumatoid arthritis. Compns. containing II are described.

IT 77154-74-6P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, as intermediate in preparation of  
pyridylphenylimidazolodihydrop  
hiazole)

RN 77154-74-6 HCAPLUS

CN 2H-Imidazole-2-thione, 1,3-dihydro-4-phenyl-5-(2-pyridinyl)- (9CI) (CA INDEX NAME)



L12 ANSWER 14 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1981:15732 HCAPLUS

DOCUMENT NUMBER: 94:15732

TITLE: Imidazole derivatives for pharmaceutical preparations

INVENTOR(S): Niedballa, Ulrich; Boettcher, Irmgard

PATENT ASSIGNEE(S): Schering A.-G., Fed. Rep. Ger.

SOURCE: Ger. Offen., 27 pp.

DOCUMENT TYPE: Patent

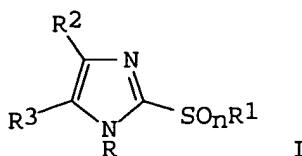
LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

## PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2856909	A1	19800717	DE 1978-2856909	19781228 <--
EP 13732	A2	19800806	EP 1979-105109	19791212 <--
EP 13732	A3	19801112		
EP 13732	B1	19870318		
R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
AT 25975	E	19870415	AT 1979-105109	19791212 <--
DK 7905510	A	19800629	DK 1979-5510	19791221 <--
GB 2043631	A	19801008	GB 1979-44067	19791221 <--
JP 55092375	A2	19800712	JP 1979-169488	19791227 <--
JP 02045627	B4	19901011		
US 4272543	A	19810609	US 1979-107802	19791227 <--
PRIORITY APPLN. INFO.:			DE 1978-2856909	A 19781228
			EP 1979-105109	A 19791212

GI



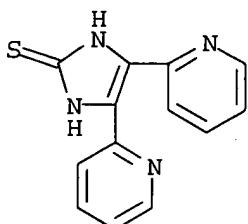
AB Imidazoles I (R = H, alkyl, hydroxyalkyl, alkoxyalkyl, acyloxyalkyl; R<sub>1</sub> = cyano, alkynyl, cycloalkyl optionally substituted by OH, acyloxy, HOCH<sub>2</sub>, or acyloxymethyl, cyanoalkyl, phenylalkyl, cycloalkylalkyl; R<sub>2</sub>, R<sub>3</sub> = optionally substituted Ph, pyridyl, furyl, thienyl; n = 0-2) were prepared for use as inflammation **inhibitors**, antiallergics, and immune adjuvants (no data). Thus 4,5-bis(4-methoxyphenyl)-2-mercaptopimidazole was treated with HC.tplbond.CCH<sub>2</sub>Br to give I (R = H, R<sub>1</sub> = CH<sub>2</sub>C.tplbond.CH, R<sub>2</sub> = R<sub>3</sub> = 4-MeOC<sub>6</sub>H<sub>4</sub>, n = 0), which was oxidized with 3-ClC<sub>6</sub>H<sub>4</sub>CO<sub>2</sub>OH to the corresponding I (n = 1, 2).

IT **73181-81-4P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and alkylation of)

RN 73181-81-4 HCPLUS

CN 2H-Imidazole-2-thione, 1,3-dihydro-4,5-di-2-pyridinyl- (9CI) (CA INDEX NAME)

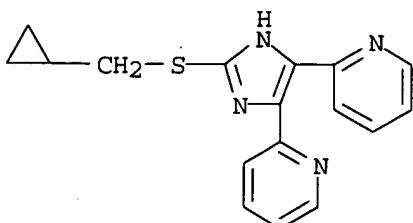


IT **75850-04-3P 75961-31-8P 75961-32-9P**

**75961-33-0P**  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

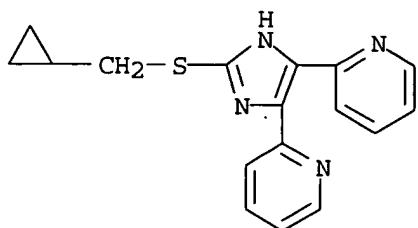
RN 75850-04-3 HCPLUS

CN Pyridine, 2,2'-(2-[(cyclopropylmethyl)thio]-1H-imidazole-4,5-diyl)bis- (9CI) (CA INDEX NAME)



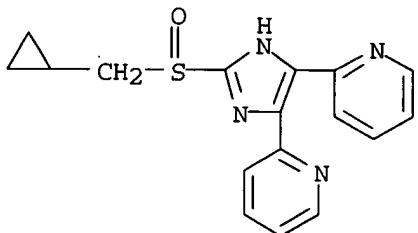
RN 75961-31-8 HCPLUS

CN Pyridine, 2,2'-(2-[(cyclopropylmethyl)thio]-1H-imidazole-4,5-diyl)bis-, hydrochloride (9CI) (CA INDEX NAME)

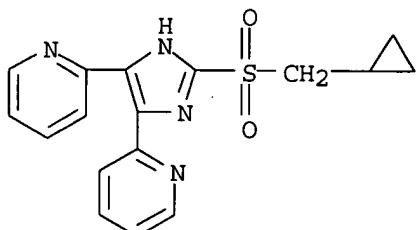


●x HCl

RN 75961-32-9 HCPLUS  
CN Pyridine, 2,2'-[2-[(cyclopropylmethyl)sulfinyl]-1H-imidazole-4,5-diyl]bis-(9CI) (CA INDEX NAME)



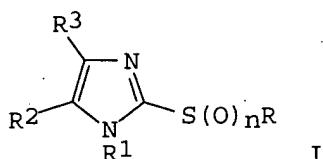
RN 75961-33-0 HCPLUS  
CN Pyridine, 2,2'-[2-[(cyclopropylmethyl)sulfonyl]-1H-imidazole-4,5-diyl]bis-(9CI) (CA INDEX NAME)



L12 ANSWER 15 OF 17 HCPLUS COPYRIGHT 2006 ACS on STN  
ACCESSION NUMBER: 1980:146771 HCPLUS  
DOCUMENT NUMBER: 92:146771  
TITLE: Imidazole derivatives  
INVENTOR(S): Niedballa, Ulrich; Boettcher, Irmgard  
PATENT ASSIGNEE(S): Schering A.-G., Fed. Rep. Ger.  
SOURCE: Ger. Offen., 42 pp.  
CODEN: GWXXBX  
DOCUMENT TYPE: Patent  
LANGUAGE: German  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2823197	A1	19791129	DE 1978-2823197	19780524 <--
EP 5545	A2	19791128	EP 1979-101509	19790517 <--
EP 5545	B1	19850918		
R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
AT 15662	E	19851015	AT 1979-101509	19790517 <--
FR 2426682	A1	19791221	FR 1979-12876	19790521 <--
DK 7902089	A	19791125	DK 1979-2089	19790522 <--
ES 480809	A1	19791201	ES 1979-480809	19790522 <--
DD 143770	C	19800910	DD 1979-213065	19790522 <--
US 4269847	A	19810526	US 1979-41367	19790522 <--
FI 7901639	A	19791125	FI 1979-1639	19790523 <--
NO 7901707	A	19791127	NO 1979-1707	19790523 <--
JP 54154766	A2	19791206	JP 1979-62774	19790523 <--
JP 03036834	B4	19910603		
GB 2023600	A	19800103	GB 1979-17874	19790523 <--
GB 2023600	B2	19821103		
ZA 7902522	A	19800625	ZA 1979-2522	19790523 <--
CA 1177836	A1	19841113	CA 1979-328095	19790523 <--
AU 7947379	A1	19791129	AU 1979-47379	19790524 <--
RO 77293	P	19810817	RO 1979-97627	19790524 <--
US 4355039	A	19821019	US 1980-190309	19800924 <--
US 4440776	A	19840403	US 1982-361225	19820324 <--
PRIORITY APPLN. INFO.:			DE 1978-2823197	19780524
			EP 1979-101509	A 19790517
			US 1979-41367	A3 19790522
			US 1980-190309	A3 19800924

GI



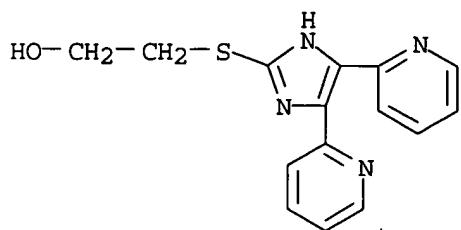
AB The imidazole derivs. I [R = aliphatic group optionally substituted by OH, alkoxy, acyloxy, alkyleneoxy, formyl, or carbalkoxy; R1 = H, alkyl optionally substituted by OH, alkoxy, or acyloxy: R2 and R3 = (substituted) Ph, pyridyl, or furyl; n = 0, 1, 2] and their salts were prepared for use as antiinflammatory, antiallergic, or immunostimulating agents (no data). Thus, 4,5-bis(4-methoxyphenyl)-2-mercaptoimidazole reacted with BrCH<sub>2</sub>CH<sub>2</sub>OH in EtOH to give I (R = HOCH<sub>2</sub>CH<sub>2</sub>, R1 = H, R2 = R3 = 4-MeOC<sub>6</sub>H<sub>4</sub>, n = 0).

IT 73181-99-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and oxidation of)

RN 73181-99-4 HCPLUS

CN Ethanol, 2-[(4,5-di-2-pyridinyl-1H-imidazol-2-yl)thio]-, monohydrobromide (9CI) (CA INDEX NAME)



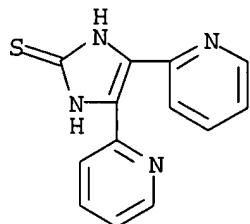
● HBr

IT 73181-81-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and reaction of, with bromoethanol)

RN 73181-81-4 HCPLUS

CN 2H-Imidazole-2-thione, 1,3-dihydro-4,5-di-2-pyridinyl- (9CI) (CA INDEX NAME)

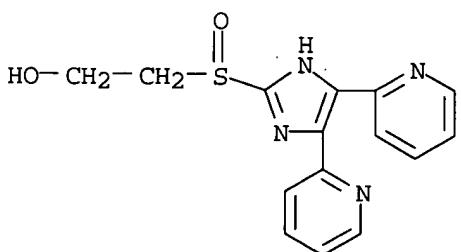


IT 73182-00-0P 73182-01-1P

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)

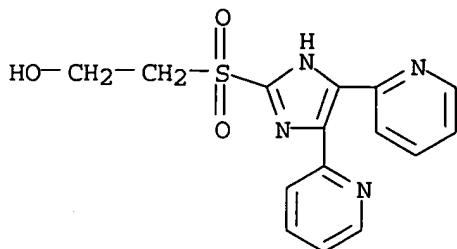
RN 73182-00-0 HCPLUS

CN Ethanol, 2-[(4,5-di-2-pyridinyl-1H-imidazol-2-yl)sulfinyl]- (9CI) (CA INDEX NAME)



RN 73182-01-1 HCPLUS

CN Ethanol, 2-[(4,5-di-2-pyridinyl-1H-imidazol-2-yl)sulfonyl]- (9CI) (CA INDEX NAME)



L12 ANSWER 16 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1975:531599 HCAPLUS

DOCUMENT NUMBER: 83:131599

TITLE: Imidazole derivatives

INVENTOR(S): Fitzi, Konrad

PATENT ASSIGNEE(S): Ciba-Geigy A.-G., Switz.

SOURCE: Patentschrift (Switz.), 4 pp.

CODEN: SWXXAS

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

## PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CH 561717	A	19750515	CH 1975-768	19710511 <--
PRIORITY APPLN. INFO.:			CH 1975-768	A 19710511

GI For diagram(s), see printed CA Issue.

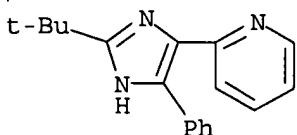
AB The cyclization of bromophenacylpyridines with amidines gave pyridylimidazoles I. Thus, 2-( $\alpha$ -bromophenacyl)pyridine and pivalamidine-HCl gave I ( $R = Me_3C$ ,  $R_1 = H$ ,  $R_2 = 2$ -pyridyl). Similarly prepared were I ( $R = Me_3C$ ,  $R_2 = 3$ -pyridyl,  $R_1 = H$ ,  $MeO$ ;  $R = p$ -ClC<sub>6</sub>H<sub>4</sub>,  $R_1 = MeO$ ,  $R_2 = 2$ -pyridyl). Dosages were given for I used as antiphlogistics, analgesics, and antipyretics.

IT 40291-38-1P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 40291-38-1 HCAPLUS

CN Pyridine, 2-[2-(1,1-dimethylethyl)-5-phenyl-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)



L12 ANSWER 17 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1972:501607 HCAPLUS

DOCUMENT NUMBER: 77:101607

TITLE: Pharmaceutical imidazoles

INVENTOR(S): Lombardino, Joseph George

PATENT ASSIGNEE(S): Pfizer Inc.

SOURCE: Ger. Offen., 65 pp.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2155558	A	19720629	DE 1971-2155558	19711109 <--
DE 2155558	C2	19820826		
US 3707475	A	19721226	US 1970-90077	19701116 <--
GB 1347893	A	19740227	GB 1971-25321	19710419 <--
CA 978960	A1	19751202	CA 1971-125371	19711018 <--
AU 7134744	A1	19730503	AU 1971-34744	19711019 <--
ZA 7107089	A	19730228	ZA 1971-7089	19711025 <--
ES 396415	A1	19750101	ES 1971-396415	19711027 <--
FR 2113861	A5	19720630	FR 1971-39768	19711105 <--
FR 2113861	B1	19750314		
FI 56174	B	19790831	FI 1971-3167	19711105 <--
FI 56174	C	19791210		
BE 775028	A1	19720508	BE 1971-3536	19711108 <--
CH 551416	A	19740715	CH 1971-16326	19711109 <--
AT 317201	B	19740826	AT 1971-9700	19711110 <--
AT 324327	B	19750825	AT 1971-324327	19711110 <--
DK 134227	B	19761004	DK 1971-5497	19711110 <--
NL 7115493	A	19720518	NL 1971-15493	19711111 <--
NL 170143	B	19820503		
NL 170143	C	19821001		
SE 401504	B	19780516	SE 1971-14468	19711111 <--
JP 56013715	B4	19810330	JP 1971-89484	19711111 <--
US 3772441	A	19731113	US 1972-251522	19720508 <--
DK 134432	B	19761108	DK 1973-6306	19731122 <--
ES 423230	A1	19760616	ES 1974-423230	19740214 <--
DK 135213	B	19770321	DK 1974-1167	19740305 <--
IN 138593	A	19760228	IN 1974-CA774	19740405 <--
			US 1970-90077	A 19701116
			GB 1971-25321	A 19710419
			IN 1971-133280	A1 19711020
			DK 1971-5497	A 19711110

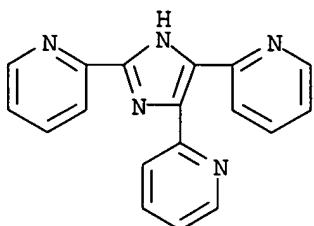
## PRIORITY APPLN. INFO.:

GI For diagram(s), see printed CA Issue.  
 AB One hundred and nine title compds. (I; R = H, C1-4 alkyl, allyl, or CH<sub>2</sub>:CMeCH<sub>2</sub>; R<sub>1</sub> = Me, CF<sub>3</sub>, aryl, 2-furyl, or 2-pyridyl; R<sub>2</sub> = aryl, 2-furyl, or 2-pyridyl; R<sub>3</sub> = CF<sub>3</sub>, CH<sub>2</sub>CHMe<sub>2</sub>, aryl, 2-furyl, 2-thienyl, or pyridyl) were prepared by reaction of R<sub>1</sub>COCOR<sub>2</sub> (II) with ACONH<sub>4</sub> and R<sub>3</sub>CHO, and optional alkylation with RI. I were tested in vitro and in vivo as antiinflammants and thrombocyte aggregation **inhibitors**. Thus, 11 g F<sub>3</sub>CCH(OH)OEt (III) was added in 50 min to 20 g II (R<sub>1</sub> = R<sub>2</sub> = p-MeOC<sub>6</sub>H<sub>4</sub>) and 40 g ACONH<sub>4</sub> in HOAc at 100°, the mixture refluxed 2 hr, and 11 g III added. The mixture was refluxed 12 hr to give 12.0 g I (R = H, R<sub>1</sub> = R<sub>2</sub> = p-MeOC<sub>6</sub>H<sub>4</sub>, R<sub>3</sub> = CF<sub>3</sub>) (IV). 1 g IV in DMF was added to 50% NaH in DMF, the mixture stirred 2 hr, and MeI in DMF added. The mixture was heated 2 hr on a water bath to give 673 mg I (R = Me, R<sub>1</sub> = R<sub>2</sub> = p-MeOC<sub>6</sub>H<sub>4</sub>, R<sub>3</sub> = CF<sub>3</sub>). Comps. containing I were given.  
 IT 23974-92-7P 36740-75-7P 36756-01-1P  
 36756-02-2P 36756-03-3P 36756-04-4P  
 36756-06-6P 36830-11-2P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)

02/11/2006 10666192.trn

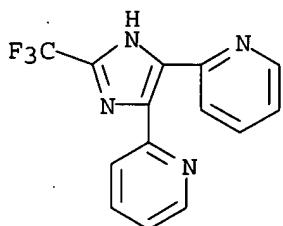
RN 23974-92-7 HCPLUS

CN Pyridine, 2,2',2''-(1H-imidazole-2,4,5-triyl)tris- (9CI) (CA INDEX NAME)



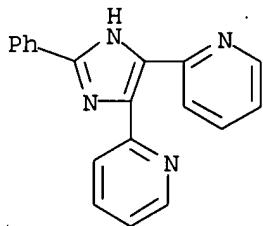
RN 36740-75-7 HCPLUS

CN Pyridine, 2,2'-[2-(trifluoromethyl)-1H-imidazole-4,5-diyl]bis- (9CI) (CA INDEX NAME)



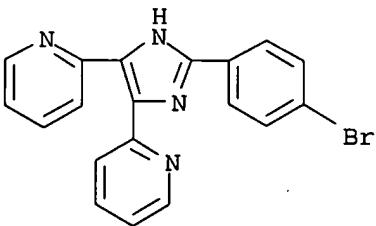
RN 36756-01-1 HCPLUS

CN Pyridine, 2,2'-(2-phenyl-1H-imidazole-4,5-diyl)bis- (9CI) (CA INDEX NAME)



RN 36756-02-2 HCPLUS

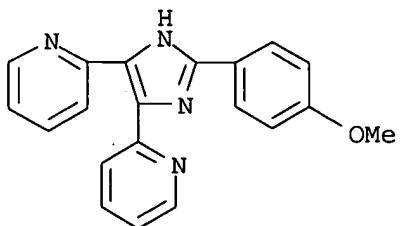
CN Pyridine, 2,2'-[2-(4-bromophenyl)-1H-imidazole-4,5-diyl]bis- (9CI) (CA INDEX NAME)



RN 36756-03-3 HCPLUS

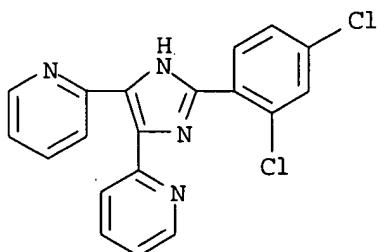
02/11/2006 10666192.trn

CN Pyridine, 2,2'-[2-(4-methoxyphenyl)-1H-imidazole-4,5-diyl]bis- (9CI) (CA INDEX NAME)



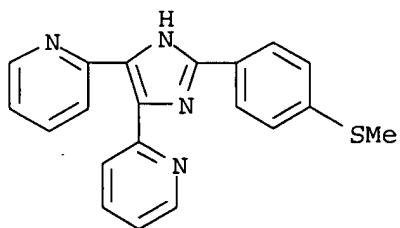
RN 36756-04-4 HCPLUS

CN Pyridine, 2,2'-(2-(2,4-dichlorophenyl)-1H-imidazole-4,5-diyl)bis- (9CI)  
(CA INDEX NAME)



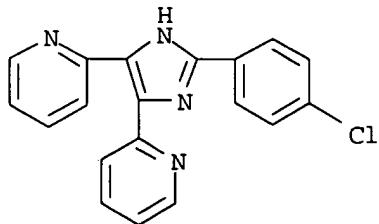
RN 36756-06-6 HCPLUS

CN Pyridine, 2,2'-(2-[4-(methylthio)phenyl]-1H-imidazole-4,5-diyl)bis- (9CI)  
(CA INDEX NAME)



RN 36830-11-2 HCPLUS

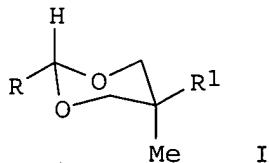
CN Pyridine, 2,2'-(2-(4-chlorophenyl)-1H-imidazole-4,5-diyl)bis- (9CI) (CA INDEX NAME)



=> d 113 ibib abs hitstr tot

L13 ANSWER 1 OF 4 HCPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 2002:539528 HCPLUS  
 DOCUMENT NUMBER: 137:93761  
 TITLE: Preparation of 2-imidazolyl-1,3-dioxane-5-carboxamides  
 and analogs as ALK-5 receptor **inhibitors**  
 INVENTOR(S): Gaster, Laramie Mary  
 PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA  
 SOURCE: PCT Int. Appl., 22 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002055077	A1	20020718	WO 2002-EP112	20020107 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.: GI			GB 2001-762	A 20010111

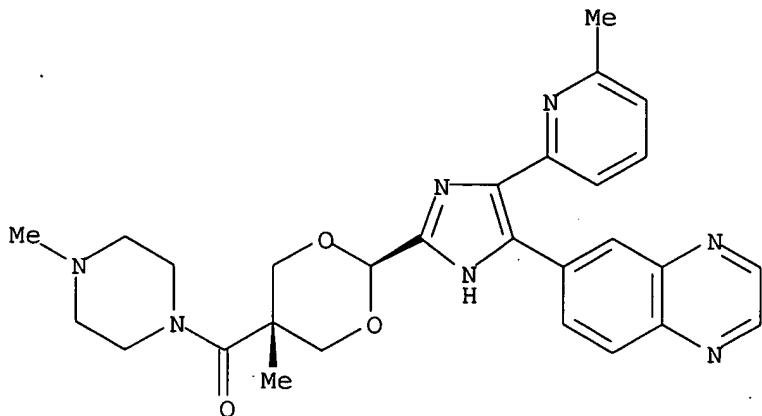


- AB Title compds. [e.g., I; R = 5-(6-methyl-2-pyridinyl)-4-(6-quinazolinyl)-1H-imidazol-2-yl throughout; R1 = CONR2R3 or NHBz; R2 = H and R3 = 2-pyridinylmethyl or CH2Ph; R2R3 = (CH2CH2)2NMe or (CH2CH2)2O] were prepared. Thus, RCH(OMe)2 (preparation given) was cyclocondensed with MeC(CH2OH)2CO2H and the product amidated by N-methylpiperazine to give I (R1 = 4-methyl-1-piperazinylcarbonyl). Data for biol. activity of I were given.
- IT 442517-17-1P 442517-19-3P 442517-22-8P  
 442517-24-0P 442517-27-3P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of 2-imidazolyl-1,3-dioxane-5-carboxamides and analogs as ALK-5 receptor **inhibitors**)
- RN 442517-17-1 HCPLUS  
 CN Piperazine, 1-methyl-4-[[trans-5-methyl-2-[4-(6-methyl-2-pyridinyl)-5-(6-

02/11/2006 10666192.trn

quinoxalinyl)-1H-imidazol-2-yl]-1,3-dioxan-5-yl]carbonyl]- (9CI) (CA INDEX NAME)

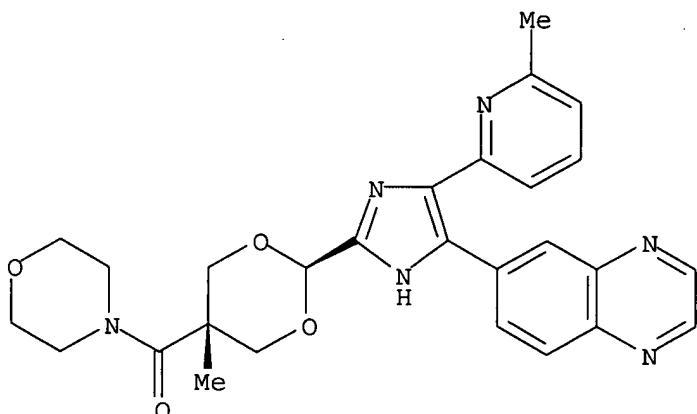
Relative stereochemistry.



RN 442517-19-3 HCPLUS

CN Morpholine, 4-[(trans-5-methyl-2-[4-(6-methyl-2-pyridinyl)-5-(6-quinoxalinyl)-1H-imidazol-2-yl]-1,3-dioxan-5-yl]carbonyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 442517-22-8 HCPLUS

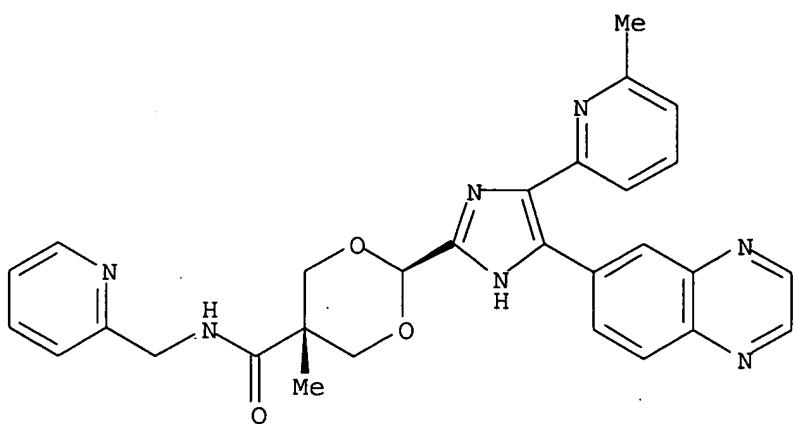
CN 1,3-Dioxane-5-carboxamide, 5-methyl-2-[4-(6-methyl-2-pyridinyl)-5-(6-quinoxalinyl)-1H-imidazol-2-yl]-N-(2-pyridinylmethyl)-, trans-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 442517-21-7

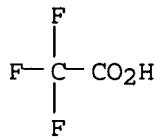
CMF C29 H27 N7 O3

Relative stereochemistry.



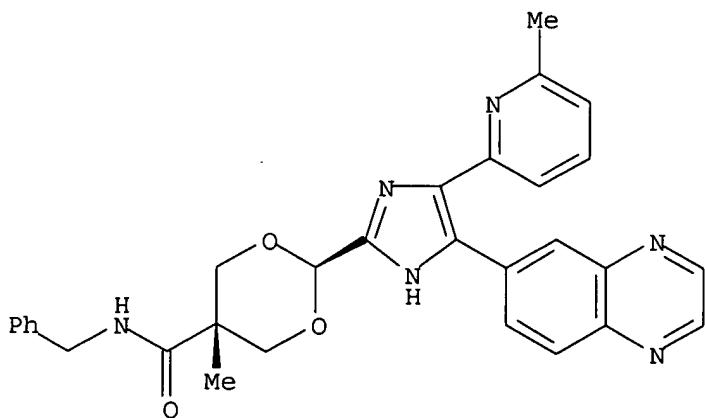
CM 2

CRN 76-05-1  
CMF C2 H F3 O2



RN 442517-24-0 HCPLUS  
CN 1,3-Dioxane-5-carboxamide, 5-methyl-2-[4-(6-methyl-2-pyridinyl)-5-(6-quinoxalinyl)-1H-imidazol-2-yl]-N-(phenylmethyl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 442517-27-3 HCPLUS  
CN Benzamide, N-[trans-5-methyl-2-[4-(6-methyl-2-pyridinyl)-5-(6-quinoxalinyl)-1H-imidazol-2-yl]-1,3-dioxan-5-yl]-, trifluoroacetate (9CI)

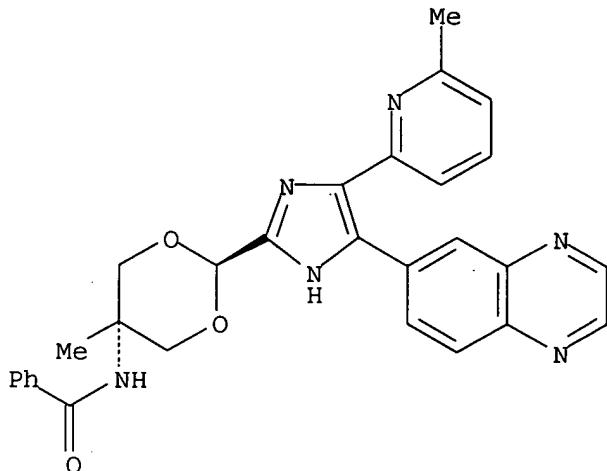
02/11/2006 10666192.trn

(CA INDEX NAME)

CM 1

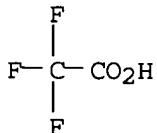
CRN 442517-26-2  
CMF C29 H26 N6 O3

Relative stereochemistry.



CM 2

CRN 76-05-1  
CMF C2 H F3 O2



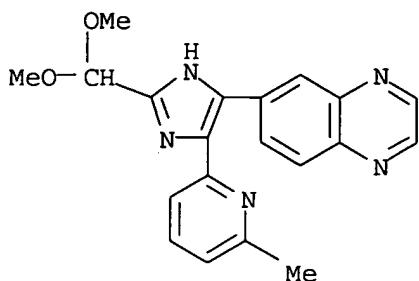
IT 442517-38-6P 442517-40-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 2-imidazolyl-1,3-dioxane-5-carboxamides and analogs as ALK-5 receptor inhibitors)

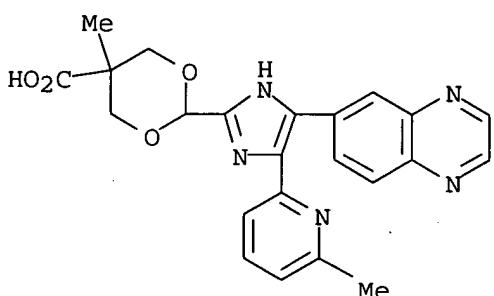
RN 442517-38-6 HCPLUS

CN Quinoxaline, 6-[2-(dimethoxymethyl)-5-(6-methyl-2-pyridinyl)-1H-imidazol-4-yl] - (9CI) (CA INDEX NAME)



RN 442517-40-0 HCPLUS

CN 1,3-Dioxane-5-carboxylic acid, 5-methyl-2-[4-(6-methyl-2-pyridinyl)-5-(6-quinoxalinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 2 OF 4 HCPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:391702 HCPLUS

DOCUMENT NUMBER: 136:401755

TITLE: Preparation of 2-pyridyl substituted diarylimidazoles as ALK5 receptor modulators

INVENTOR(S): Bender, Paul E.; Burgess, Joelle L.; Callahan, James F.

PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA

SOURCE: PCT Int. Appl., 17 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

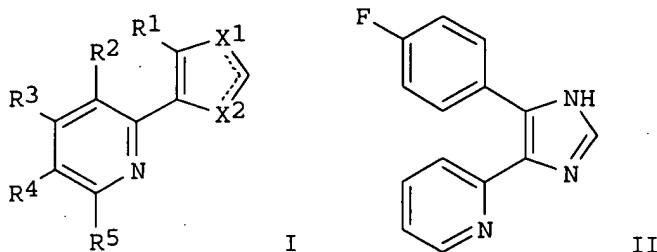
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002040468	A1	20020523	WO 2001-US43994	20011114 <--
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,			

BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  
AU 2002025730 A5 20020527 AU 2002-25730 20011114 <  
EP 1349851 A1 20031008 EP 2001-995214 20011114  
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR  
JP 2004517068 T2 20040610 JP 2002-543479 20011114  
US 2004039198 A1 20040226 US 2003-416761 20030514  
PRIORITY APPLN. INFO.: US 2000-249199P P 20001116  
WO 2001-US43994 W 20011114

OTHER SOURCE(S): MARPAT 136:401755  
GI



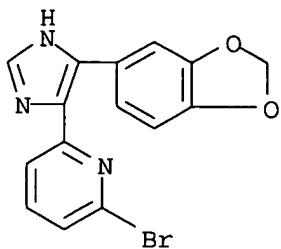
AB The title compds. [I; R1 = (un)substituted Ph, naphthyl, Ph fused with a 5-7 membered aromatic or non-aromatic ring wherein said ring contains up to three heteroatoms, independently selected from N, O and S; R2-R5 = H, alkyl, alkoxy, etc.; or an adjacent pair of R2-R5 form (un)substituted fused 6-membered aromatic ring optionally containing up to 2 N atoms, and the remainder of R2-R5 = H, alkyl, alkoxy, etc.; one of X1 and X2 = N and the other = NR6 (wherein R6 = H, alkyl)], useful in treating a disease mediated by the ALK5 receptor in mammals, were prepared. Thus, condensation of pyridine-2-carboxaldehyde with 1-[1-isocyano-1-(toluene-4-sulfonyl)methyl]-4-fluorobenzene and ammonia afforded II. The compds. I generally show ALK5 receptor modulator activity having IC<sub>50</sub> values of 0.0001-10 μM.

IT 428816-36-8P 428816-37-9P

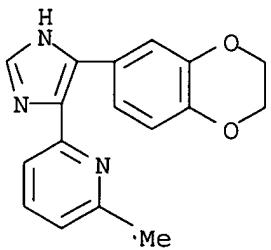
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(preparation of 2-pyridyl substituted diarylimidazoles as ALK5 receptor modulators)

RN 428816-36-8 HCPLUS

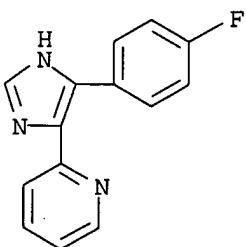
CN Pyridine, 2-[5-(1,3-benzodioxol-5-yl)-1H-imidazol-4-yl]-6-bromo- (9CI)  
(CA INDEX NAME)



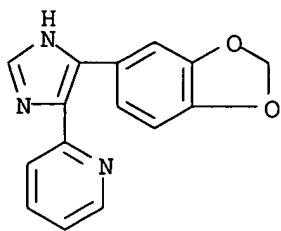
RN 428816-37-9 HCPLUS  
CN Pyridine, 2-[5-(2,3-dihydro-1,4-benzodioxin-6-yl)-1H-imidazol-4-yl]-6-methyl- (9CI) (CA INDEX NAME)



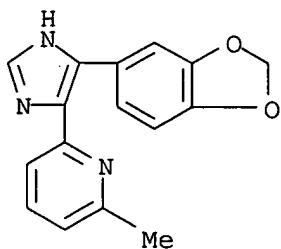
IT 428816-33-5P, 2-[5-(4-Fluorophenyl)-1H-imidazol-4-yl]pyridine  
428816-34-6P 428816-35-7P 428816-40-4P  
428816-41-5P 428816-42-6P  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of 2-pyridyl substituted diarylimidazoles as ALK5 receptor modulators)  
RN 428816-33-5 HCPLUS  
CN Pyridine, 2-[5-(4-fluorophenyl)-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)



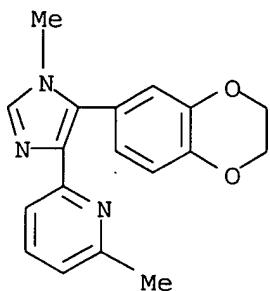
RN 428816-34-6 HCPLUS  
CN Pyridine, 2-[5-(1,3-benzodioxol-5-yl)-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)



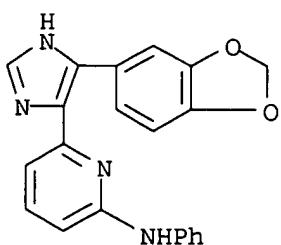
RN 428816-35-7 HCAPLUS  
CN Pyridine, 2-[5-(1,3-benzodioxol-5-yl)-1H-imidazol-4-yl]-6-methyl- (9CI)  
(CA INDEX NAME)



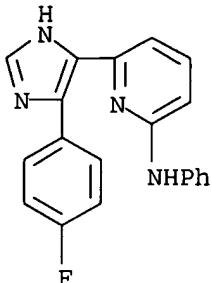
RN 428816-40-4 HCAPLUS  
CN Pyridine, 2-[5-(2,3-dihydro-1,4-benzodioxin-6-yl)-1-methyl-1H-imidazol-4-yl]-6-methyl- (9CI) (CA INDEX NAME)



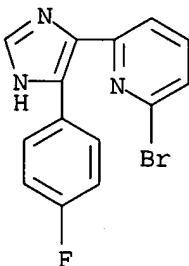
RN 428816-41-5 HCAPLUS  
CN 2-Pyridinamine, 6-[5-(1,3-benzodioxol-5-yl)-1H-imidazol-4-yl]-N-phenyl- (9CI) (CA INDEX NAME)



RN 428816-42-6 HCPLUS  
 CN 2-Pyridinamine, 6-[5-(4-fluorophenyl)-1H-imidazol-4-yl]-N-phenyl- (9CI)  
 (CA INDEX NAME)



IT 428816-45-9  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation of 2-pyridyl substituted diarylimidazoles as ALK5 receptor modulators)  
 RN 428816-45-9 HCPLUS  
 CN Pyridine, 2-bromo-6-[5-(4-fluorophenyl)-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 3 OF 4 HCPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 2001:730730 HCPLUS  
 DOCUMENT NUMBER: 135:272959  
 TITLE: Preparation of triarylimidazole derivatives as cytokine **inhibitors**  
 INVENTOR(S): Harling, John David; Gaster, Laramie Mary  
 PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA  
 SOURCE: PCT Int. Appl., 27 pp.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001072737	A1	20011004	WO 2001-GB1314	20010326 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,				

CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM,  
 HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS,  
 LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO,  
 RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ,  
 VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,  
 DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,  
 BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

EP 1268465 A1 20030102 EP 2001-915488 20010326

EP 1268465 B1 20050601

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
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JP 2003528870 T2 20030930 JP 2001-570648 20010326

AT 296821 E 20050615 AT 2001-915488 20010326

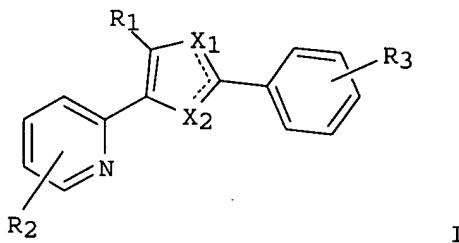
US 2003149277 A1 20030807 US 2003-239815 20030121

US 6906089 B2 20050614

PRIORITY APPLN. INFO.: GB 2000-7405 A 20000327  
 WO 2001-GB1314 W 20010326

OTHER SOURCE(S): MARPAT 135:272959

GI



AB A process for preparing compds. of formula I or a pharmaceutically acceptable salt thereof, wherein R1 = naphthyl or Ph optionally substituted with one or more substituents selected from the group consisting of halo, -O-C1-6alkyl, -S-C1-6alkyl, C1-6alkyl, C1-6haloalkyl, -O-(CH<sub>2</sub>)<sub>n</sub>-Ph, -S-(CH<sub>2</sub>)<sub>n</sub>-Ph, CN, Ph, and CO<sub>2</sub>R, wherein R is H or C1-6alkyl, and n is 0, 1, 2 or 3; or R1 is Ph fused with an aromatic or nonarom. cyclic ring of 5-7 members wherein said cyclic ring optionally contains up to three heteroatoms, independently selected from N, O and S; R2 = H, C1-6alkyl, C1-6alkoxy, Ph, NH(CH<sub>2</sub>)<sub>n</sub>-Ph, NH-C1-6alkyl, halo, or alkoxy; R3 is COOH, tetrazole, CN, NO<sub>2</sub>, OH, -S-C1-6alkyl, -SO-C1-6alkyl, -O-C1-6alkyl, SONH<sub>2</sub>, CHO, CH<sub>2</sub>OH, (CH<sub>2</sub>)<sub>n</sub>NH<sub>2</sub>, CONHOR', O(CH<sub>2</sub>)<sub>n</sub>CO<sub>2</sub>R', O(CH<sub>2</sub>)<sub>n</sub>CONHR', CONHR', (CH<sub>2</sub>)<sub>n</sub>CO<sub>2</sub>R', or (CH<sub>2</sub>)<sub>n</sub>CONHR' wherein R' is H or C1-6alkyl, and n is 0, 1, 2 or 3; and one of X1 and X2 is N or CR'', and the other is NR'' or CHR'' wherein R'' is H, C1-6alkyl, or C3-7cycloalkyl; or when one of X1 and X2 is N or CR'' then the other may be S or O;.. Provided that the compound is not one in which R1 is naphthyl or Ph optionally substituted with one or more substituents selected from the group consisting of halo, -O-C1-6alkyl, -S-C1-6alkyl, C1-6alkyl, -O-(CH<sub>2</sub>)<sub>n</sub>-Ph, -S-(CH<sub>2</sub>)<sub>n</sub>-Ph, CN, Ph, and CO<sub>2</sub>R, wherein R = H or C1-6alkyl and n is 0, 1, 2 or 3; or R1 is Ph fused with an aromatic or nonarom. cyclic ring of 5-7 members wherein said cyclic ring optionally contains up to two heteroatoms, independently selected from N, O and S; and R2 is H, NH(CH<sub>2</sub>)<sub>n</sub>-Ph or NH-C1-6alkyl; and R3 is CO<sub>2</sub>H, CONH<sub>2</sub>, CN, NO<sub>2</sub>, C1-6alkylthio, SO<sub>2</sub>-C1-6alkyl, C1-6alkoxy, SONH<sub>2</sub>, CONHOH, NH<sub>2</sub>,

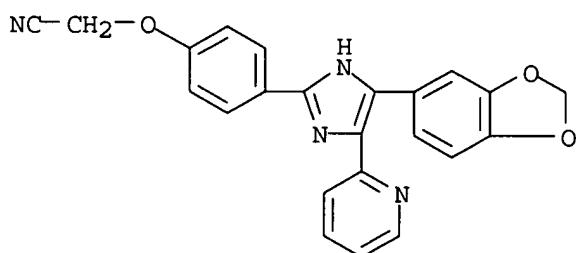
CHO, CH<sub>2</sub>OH, CH<sub>2</sub>NH<sub>2</sub>, or CO<sub>2</sub>R, wherein R = H or C1-6alkyl. Thus, 4-(4-benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)benzoyl chloride hydrochloride was suspended in THF and treated with a solution of NHMe in H<sub>2</sub>O to give 60% 4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-N-methylbenzamide. The prepared compds. are useful in the treatment and prevention of chronic renal disease, acute renal disease, wound healing, arthritis, osteoporosis, kidney disease, congestive heart failure, ulcers, ocular disorders, corneal wounds, diabetic nephropathy, impaired neurol. function, Alzheimer's disease, trophic conditions, atherosclerosis, peritoneal and sub-dermal adhesion, any disease wherein fibrosis is a major component, and restenosis, as **inhibitors** of the transforming growth factor, ("TGF")-p3 signaling pathway. The compds. of this invention generally show ALK5 receptor modulator activity having IC<sub>50</sub> values in the range of 0.0001 to 10μM.

IT 364050-01-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(intermediate; preparation of triarylimidazole derivs. as cytokine **inhibitors**)

RN 364050-01-1 HCPLUS

CN Acetonitrile, [4-[4-(1,3-benzodioxol-5-yl)-5-(2-pyridinyl)-1H-imidazol-2-yl]phenoxy]- (9CI) (CA INDEX NAME)



IT 364049-94-5P 364050-02-2P 364050-08-8P

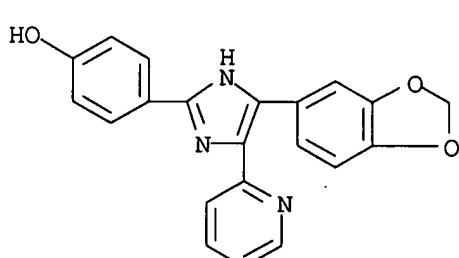
364050-11-3P 364050-14-6P 364050-17-9P

364050-20-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(preparation of triarylimidazole derivs. as cytokine **inhibitors**)

RN 364049-94-5 HCPLUS

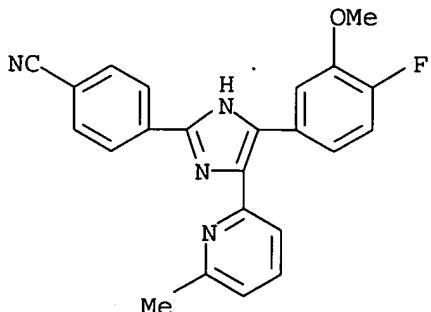
CN Phenol, 4-[4-(1,3-benzodioxol-5-yl)-5-(2-pyridinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



02/11/2006 10666192.trn

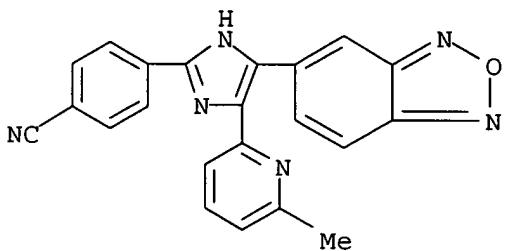
RN 364050-02-2 HCPLUS

CN Benzonitrile, 4-[4-(4-fluoro-3-methoxyphenyl)-5-(6-methyl-2-pyridinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



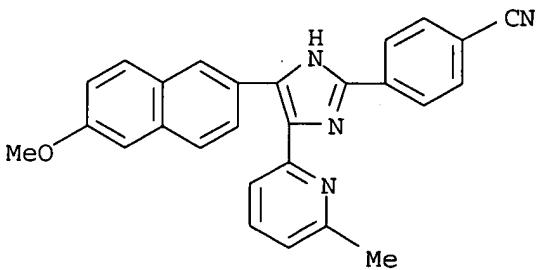
RN 364050-08-8 HCPLUS

CN Benzonitrile, 4-[4-(2,1,3-benzoxadiazol-5-yl)-5-(6-methyl-2-pyridinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



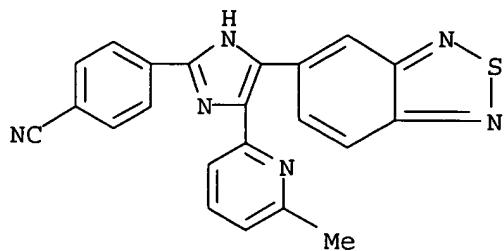
RN 364050-11-3 HCPLUS

CN Benzonitrile, 4-[4-(6-methoxy-2-naphthalenyl)-5-(6-methyl-2-pyridinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)

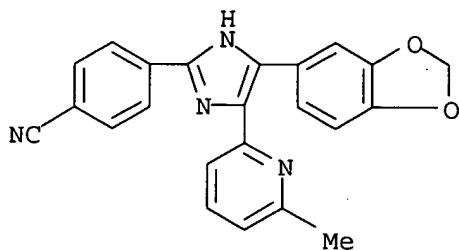


RN 364050-14-6 HCPLUS

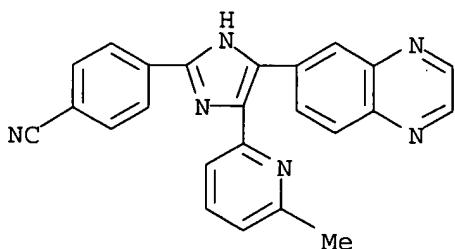
CN Benzonitrile, 4-[4-(2,1,3-benzothiadiazol-5-yl)-5-(6-methyl-2-pyridinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



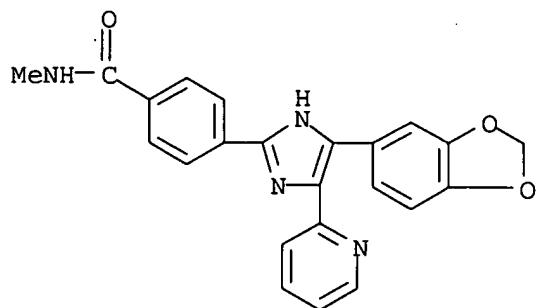
RN 364050-17-9 HCPLUS  
 CN Benzonitrile, 4-[4-(1,3-benzodioxol-5-yl)-5-(6-methyl-2-pyridinyl)-1H-imidazol-2-yl] - (9CI) (CA INDEX NAME)



RN 364050-20-4 HCPLUS  
 CN Benzonitrile, 4-[4-(6-methyl-2-pyridinyl)-5-(6-quinoxalinyl)-1H-imidazol-2-yl] - (9CI) (CA INDEX NAME)

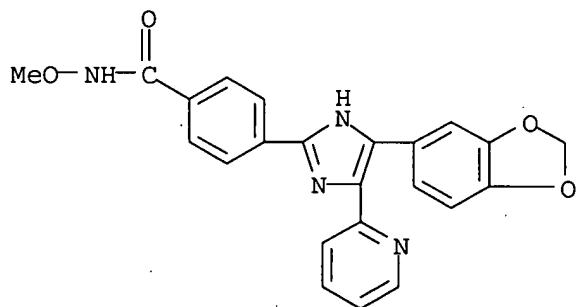


IT 364049-96-7P 364049-97-8P 364049-98-9P  
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 364050-07-7P 364050-10-2P 364050-13-5P  
 364050-16-8P 364050-19-1P 364050-22-6P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of triarylimidazole derivs. as cytokine **inhibitors**)  
 RN 364049-96-7 HCPLUS  
 CN Benzamide, 4-[4-(1,3-benzodioxol-5-yl)-5-(2-pyridinyl)-1H-imidazol-2-yl]-N-methyl- (9CI) (CA INDEX NAME)



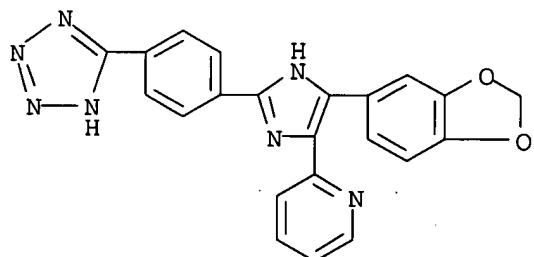
RN 364049-97-8 HCAPLUS

CN Benzamide, 4-[4-(1,3-benzodioxol-5-yl)-5-(2-pyridinyl)-1H-imidazol-2-yl]-N-methoxy- (9CI) (CA INDEX NAME)



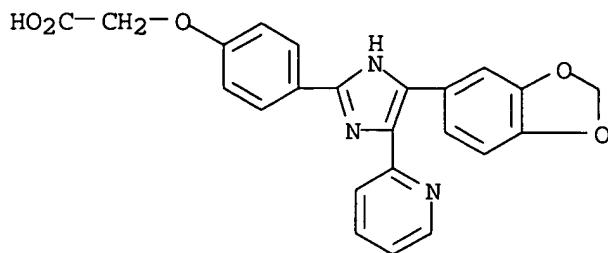
RN 364049-98-9 HCAPLUS

CN Pyridine, 2-[5-(1,3-benzodioxol-5-yl)-2-[4-(1H-tetrazol-5-yl)phenyl]-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)



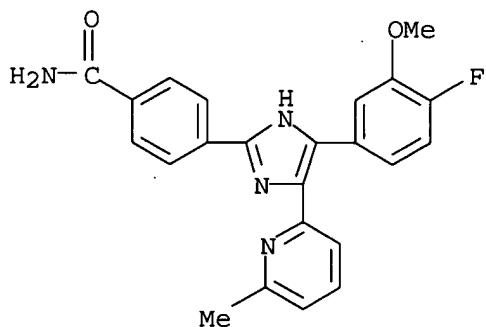
RN 364050-00-0 HCAPLUS

CN Acetic acid, [4-[4-(1,3-benzodioxol-5-yl)-5-(2-pyridinyl)-1H-imidazol-2-yl]phenoxy]- (9CI) (CA INDEX NAME)



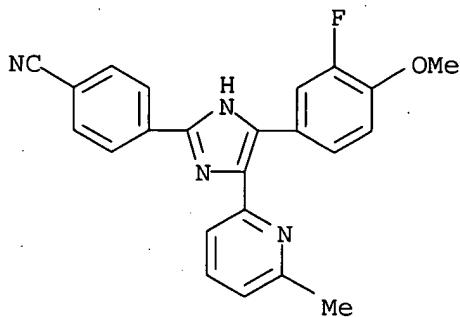
RN 364050-04-4 HCAPLUS

CN Benzamide, 4-[4-(4-fluoro-3-methoxyphenyl)-5-(6-methyl-2-pyridinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



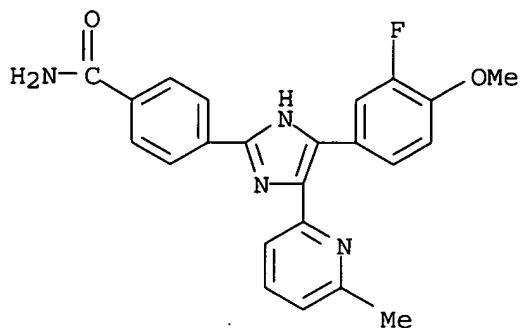
RN 364050-05-5 HCAPLUS

CN Benzonitrile, 4-[4-(3-fluoro-4-methoxyphenyl)-5-(6-methyl-2-pyridinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



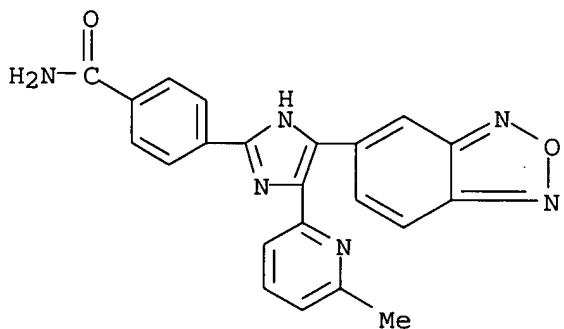
RN 364050-07-7 HCAPLUS

CN Benzamide, 4-[4-(3-fluoro-4-methoxyphenyl)-5-(6-methyl-2-pyridinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



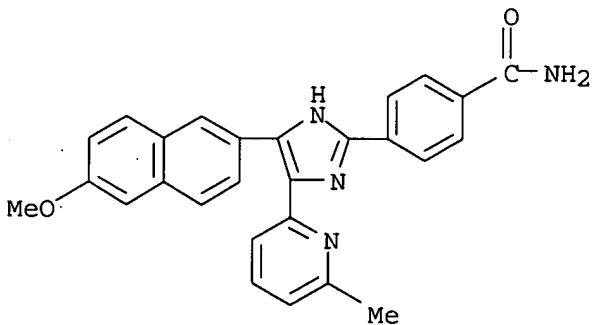
RN 364050-10-2 HCAPLUS

CN Benzamide, 4-[4-(2,1,3-benzoxadiazol-5-yl)-5-(6-methyl-2-pyridinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



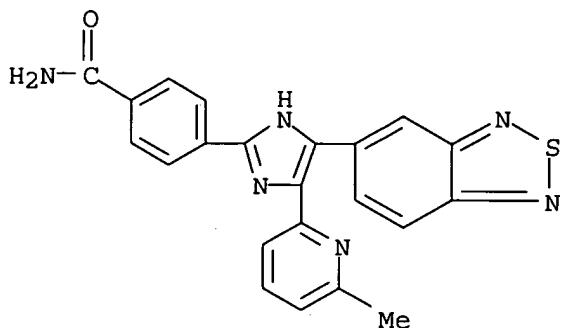
RN 364050-13-5 HCAPLUS

CN Benzamide, 4-[4-(6-methoxy-2-naphthalenyl)-5-(6-methyl-2-pyridinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



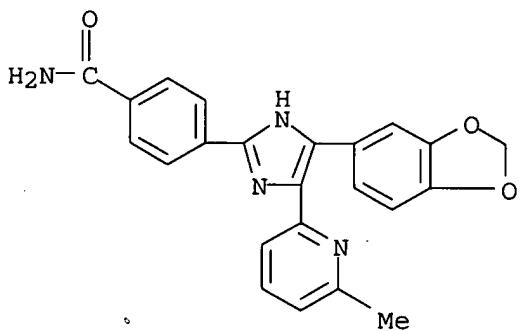
RN 364050-16-8 HCAPLUS

CN Benzamide, 4-[4-(2,1,3-benzothiadiazol-5-yl)-5-(6-methyl-2-pyridinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



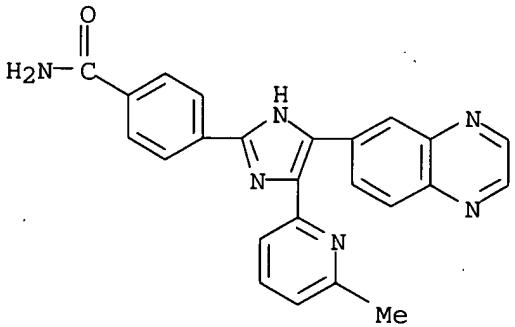
RN 364050-19-1 HCPLUS

CN Benzamide, 4-[4-(1,3-benzodioxol-5-yl)-5-(6-methyl-2-pyridinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



RN 364050-22-6 HCPLUS

CN Benzamide, 4-[4-(6-methyl-2-pyridinyl)-5-(6-quinoxalinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



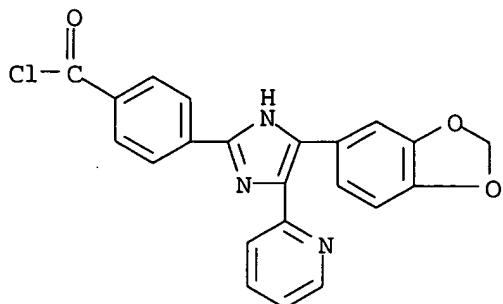
IT 301836-56-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of triarylimidazole derivs. as cytokine **inhibitors**)

RN 301836-56-6 HCPLUS

CN Benzoyl chloride, 4-[4-(1,3-benzodioxol-5-yl)-5-(2-pyridinyl)-1H-imidazol-2-yl]-, monohydrochloride (9CI) (CA INDEX NAME)



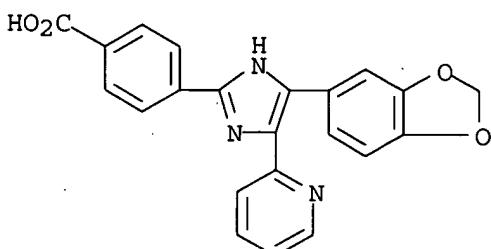
● HCl

IT 301836-35-1

RL: RCT (Reactant); RACT (Reactant or reagent)  
 (reactant; preparation of triarylimidazole derivs. as cytokine  
 inhibitors)

RN 301836-35-1 HCPLUS

CN Benzoic acid, 4-[4-(1,3-benzodioxol-5-yl)-5-(2-pyridinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 4 OF 4 HCPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:742089 HCPLUS

DOCUMENT NUMBER: 133:309891

TITLE: Preparation of triarylimidazoles as activin-like kinase (ALK)-5 receptor modulators

INVENTOR(S): Burgess, Joelle Lorraine; Callahan, James Francis

PATENT ASSIGNEE(S): SmithKline Beecham Corporation, USA

SOURCE: PCT Int. Appl., 32 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

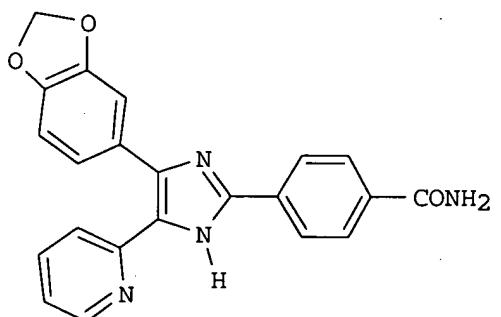
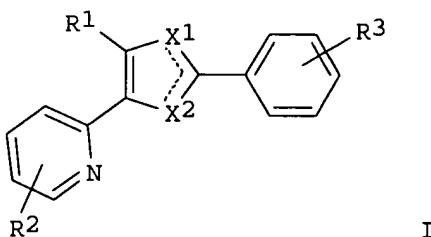
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000061576	A1	20001019	WO 2000-US9147	20000406 <-
W: AE, AL, AU, BA, BB, BG, BR, CA, CN, CZ, DZ, EE, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK,				

MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, TZ, UA, US, UZ,  
 VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  
 RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,  
 DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,  
 CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  
 EP 1169317 A1 20020109 EP 2000-930101 20000406 <--  
 EP 1169317 B1 20030115  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO  
 JP 2002541253 T2 20021203 JP 2000-610849 20000406 <--  
 AT 231143 E 20030215 AT 2000-930101 20000406  
 ES 2187473 T3 20030616 ES 2000-930101 20000406  
 US 6465493 B1 20021015 US 2001-958639 20011009 <--  
 PRIORITY APPLN. INFO.: US 1999-128687P P 19990409  
 OTHER SOURCE(S): MARPAT 133:309891 WO 2000-US9147 W 20000406  
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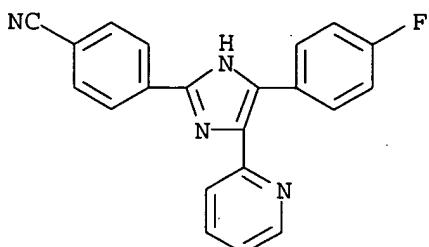
AB The title compds. [I; R1 = (un)substituted naphthyl, anthracenyl, Ph; R2 = H, NH(CH<sub>2</sub>)<sub>n</sub>Ph, NHalkyl (wherein n = 0-3); R3 = CO<sub>2</sub>H, CONH<sub>2</sub>, CN, etc.; one of X1 and X2 = N, CR', and the other is NR', CHR' (R' = H, OH, alkyl, cycloalkyl); or when one of X1 and X2 = N, CR' then the other may be S, O], useful as **inhibitors** of the transforming growth factor (**TGF**)- $\beta$  signaling pathway, were prepared E.g., a 2-step synthesis of imidazole II was given. In general, the compds. I showed IC<sub>50</sub> of 0.0001-10  $\mu$ M against ALK-5 receptor binding.

IT 301836-29-3P 301836-30-6P 301836-34-0P  
 301836-35-1P 301836-36-2P 301836-38-4P  
 301836-42-0P 301836-45-3P 301836-46-4P

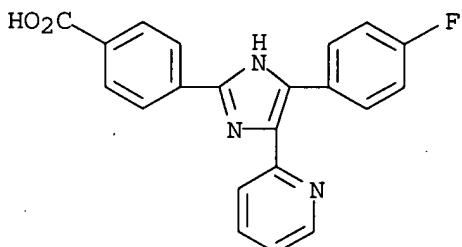
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (preparation of triarylimidazoles as activin-like kinase (ALK)-5 receptor modulators)

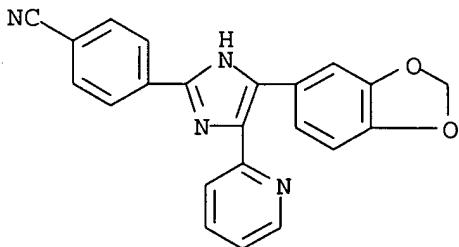
RN 301836-29-3 HCPLUS

CN Benzonitrile, 4-[4-(4-fluorophenyl)-5-(2-pyridinyl)-1H-imidazol-2-yl]-  
 (9CI) (CA INDEX NAME)

RN 301836-30-6 HCPLUS

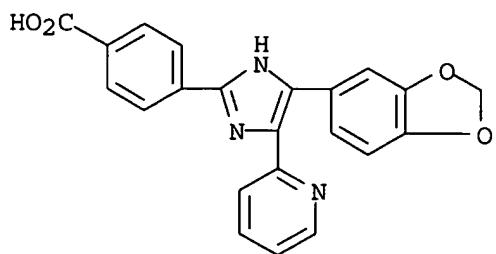
CN Benzoic acid, 4-[4-(4-fluorophenyl)-5-(2-pyridinyl)-1H-imidazol-2-yl]-  
 (9CI) (CA INDEX NAME)

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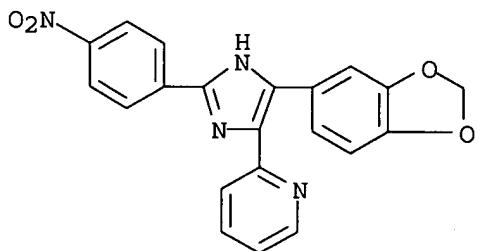
CN Benzonitrile, 4-[4-(1,3-benzodioxol-5-yl)-5-(2-pyridinyl)-1H-imidazol-2-yl]-  
 (9CI) (CA INDEX NAME)

RN 301836-35-1 HCPLUS

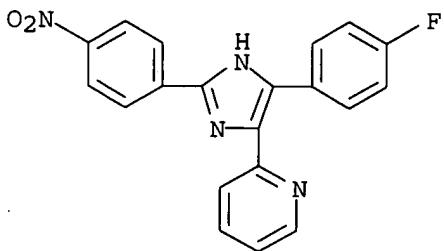
CN Benzoic acid, 4-[4-(1,3-benzodioxol-5-yl)-5-(2-pyridinyl)-1H-imidazol-2-yl]-  
 (9CI) (CA INDEX NAME)



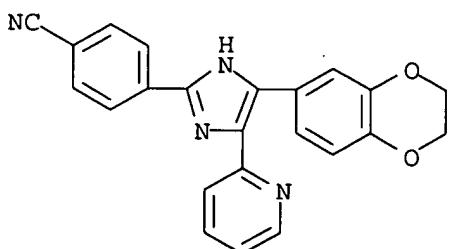
RN 301836-36-2 HCAPLUS  
CN Pyridine, 2-[5-(1,3-benzodioxol-5-yl)-2-(4-nitrophenyl)-1H-imidazol-4-yl]-  
(9CI) (CA INDEX NAME)



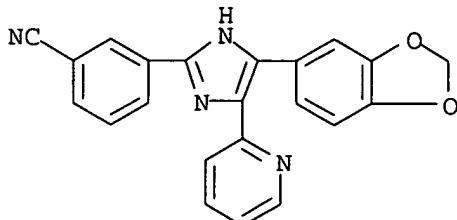
RN 301836-38-4 HCAPLUS  
CN Pyridine, 2-[5-(4-fluorophenyl)-2-(4-nitrophenyl)-1H-imidazol-4-yl]- (9CI)  
(CA INDEX NAME)



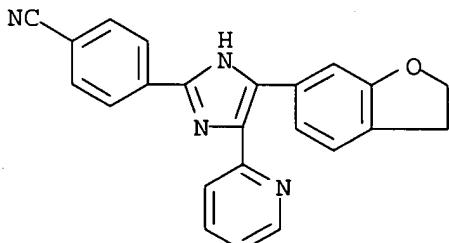
RN 301836-42-0 HCAPLUS  
CN Benzonitrile, 4-[4-(2,3-dihydro-1,4-benzodioxin-6-yl)-5-(2-pyridinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



RN 301836-45-3 HCPLUS  
 CN Benzonitrile, 3-[4-(1,3-benzodioxol-5-yl)-5-(2-pyridinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



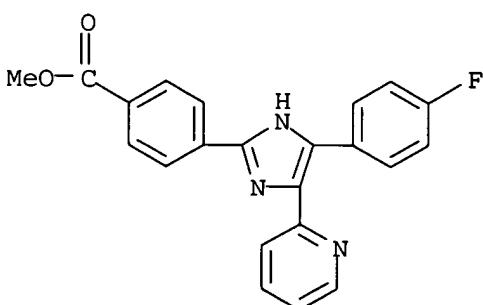
RN 301836-46-4 HCPLUS  
 CN Benzonitrile, 4-[4-(2,3-dihydro-6-benzofuranyl)-5-(2-pyridinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



IT 301836-31-7P 301836-32-8P 301836-37-3P  
 301836-39-5P 301836-40-8P 301836-41-9P  
 301836-43-1P 301836-44-2P 301836-47-5P  
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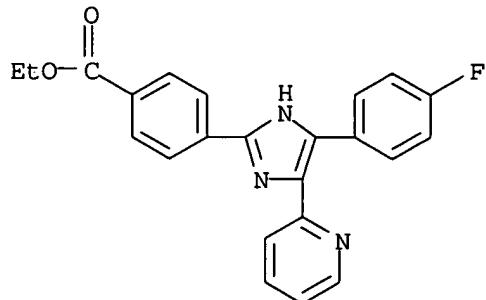
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of triarylimidazoles as activin-like kinase (ALK)-5 receptor modulators)

RN 301836-31-7 HCPLUS  
 CN Benzoic acid, 4-[4-(4-fluorophenyl)-5-(2-pyridinyl)-1H-imidazol-2-yl]-, methyl ester (9CI) (CA INDEX NAME)

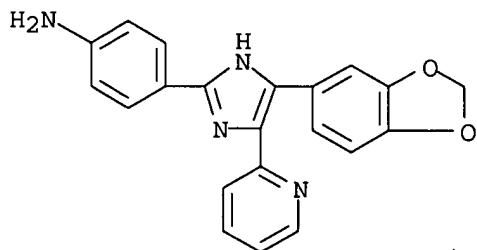


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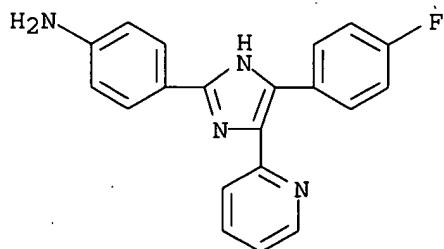
RN 301836-32-8 HCAPLUS  
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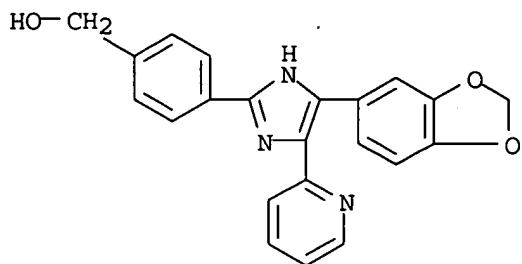
RN 301836-37-3 HCAPLUS  
CN Benzenamine, 4-[4-(1,3-benzodioxol-5-yl)-5-(2-pyridinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



RN 301836-39-5 HCAPLUS  
CN Benzenamine, 4-[4-(4-fluorophenyl)-5-(2-pyridinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)

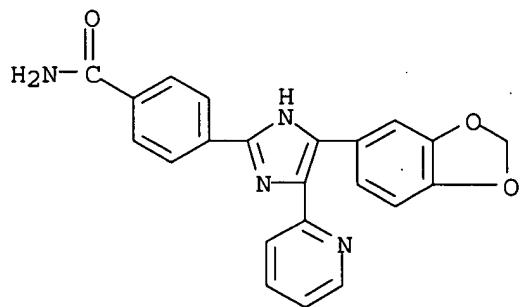


RN 301836-40-8 HCAPLUS  
CN Benzenemethanol, 4-[4-(1,3-benzodioxol-5-yl)-5-(2-pyridinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



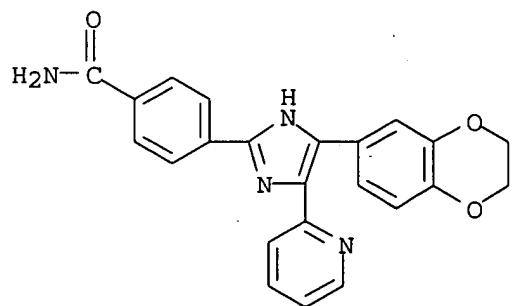
RN 301836-41-9 HCPLUS

CN Benzamide, 4-[4-(1,3-benzodioxol-5-yl)-5-(2-pyridinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



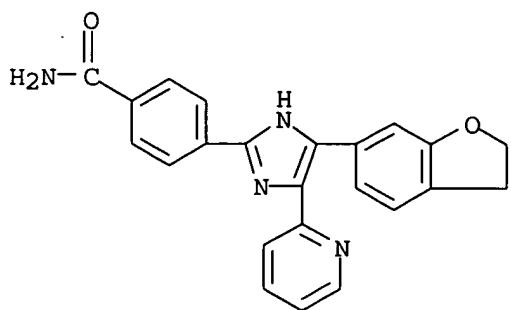
RN 301836-43-1 HCPLUS

CN Benzamide, 4-[4-(2,3-dihydro-1,4-benzodioxin-6-yl)-5-(2-pyridinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)

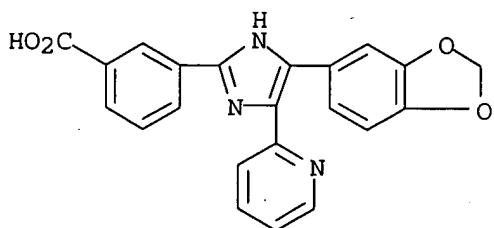


RN 301836-44-2 HCPLUS

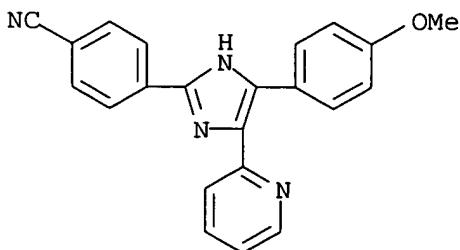
CN Benzamide, 4-[4-(2,3-dihydro-6-benzofuranyl)-5-(2-pyridinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



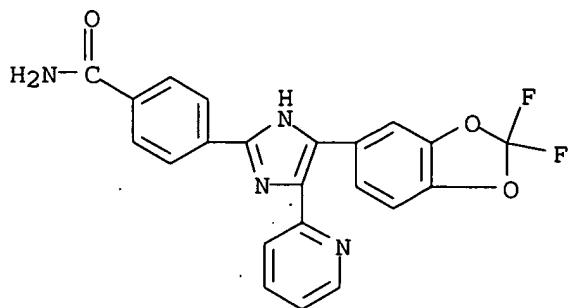
RN 301836-47-5 HCPLUS  
CN Benzoic acid, 3-[4-(1,3-benzodioxol-5-yl)-5-(2-pyridinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



RN 301836-48-6 HCPLUS  
CN Benzonitrile, 4-[4-(4-methoxyphenyl)-5-(2-pyridinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)

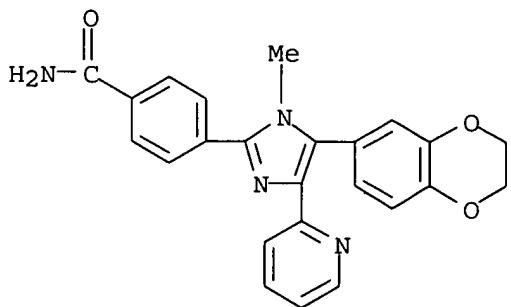


RN 301836-49-7 HCPLUS  
CN Benzamide, 4-[4-(2,2-difluoro-1,3-benzodioxol-5-yl)-5-(2-pyridinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



RN 301836-51-1 HCPLUS

CN Benzamide, 4-[5-(2,3-dihydro-1,4-benzodioxin-6-yl)-1-methyl-4-(2-pyridinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)

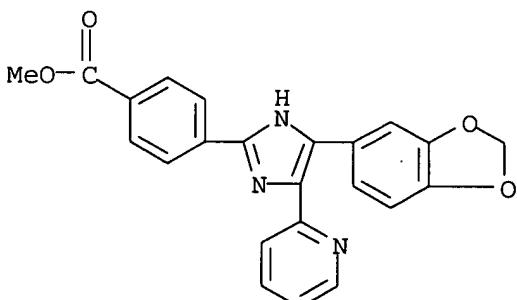


IT 301836-64-6 301836-68-0

RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation of triarylimidazoles as activin-like kinase (ALK)-5 receptor modulators)

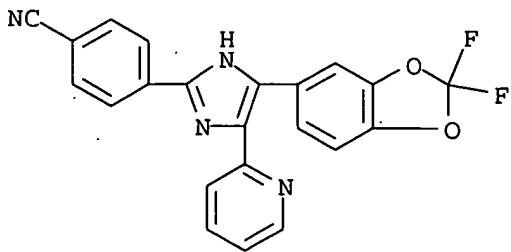
RN 301836-64-6 HCPLUS

CN Benzoic acid, 4-[4-(1,3-benzodioxol-5-yl)-5-(2-pyridinyl)-1H-imidazol-2-yl]-, methyl ester (9CI) (CA INDEX NAME)



RN 301836-68-0 HCPLUS

CN Benzonitrile, 4-[4-(2,2-difluoro-1,3-benzodioxol-5-yl)-5-(2-pyridinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)

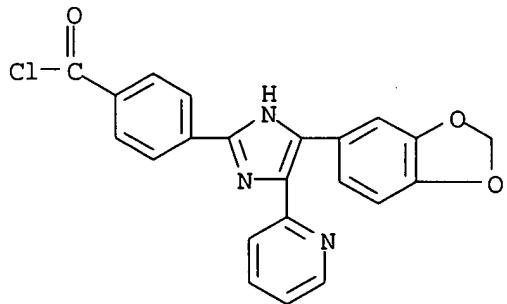


IT 301836-56-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of triarylimidazoles as activin-like kinase (ALK)-5 receptor modulators)

RN 301836-56-6 HCPLUS

CN Benzoyl chloride, 4-[4-(1,3-benzodioxol-5-yl)-5-(2-pyridinyl)-1H-imidazol-2-yl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

REFERENCE COUNT:

6

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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COST IN U.S. DOLLARSSINCE FILE  
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SESSION

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE  
ENTRY

CA SUBSCRIBER PRICE

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TOTAL  
SESSION

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